10/531,495BB Yong Chu 1/16/2007

search for closest pr.or art.
20/23.

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NEWS	6	NOV 10	CA/CAplus F-Term thesaurus enhanced
NEWS	7	NOV 10	STN Express with Discover! free maintenance release Version 8.01c now available
NEWS	8	NOV 20	CAS Registry Number crossover limit increased to 300,000 in additional databases
NEWS	9	NOV 20	CA/CAplus to MARPAT accession number crossover limit increased to 50,000
NEWS	10	DEC 01	CAS REGISTRY updated with new ambiguity codes
NEWS	11	DEC 11	CAS REGISTRY chemical nomenclature enhanced
NEWS	12	DEC 14	WPIDS/WPINDEX/WPIX manual codes updated
NEWS	13	DEC 14	GBFULL and FRFULL enhanced with IPC 8 features and functionality
NEWS	14	DEC 18	CA/CAplus pre-1967 chemical substance index entries enhanced with preparation role
NEWS	15	DEC 18	CA/CAplus patent kind codes updated
NEWS	16	DEC 18	MARPAT to CA/CAplus accession number crossover limit increased to 50,000
NEWS	17	DEC 18	MEDLINE updated in preparation for 2007 reload
NEWS	18	DEC 27	CA/CAplus enhanced with more pre-1907 records
NEWS	19	JAN 08	CHEMLIST enhanced with New Zealand Inventory of Chemicals

NEWS EXPRESS	NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.
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NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8
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FILE 'HOME' ENTERED AT 10:07:53 ON 16 JAN 2007

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Switching to the Registry File...
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DICTIONARY FILE UPDATES:  15 JAN 2007  HIGHEST RN 917470-98-5

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L1 HAS NO ANSWERS
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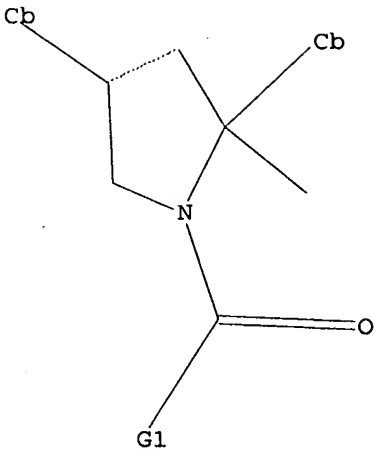

G1 C,N

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 26618 TO ITERATE

7.5% PROCESSED 2000 ITERATIONS 2 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
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PROJECTED ANSWERS: 223 TO 841

L2 2 SEA SSS SAM L1

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100.0% PROCESSED 532220 ITERATIONS 523 ANSWERS
SEARCH TIME: 00.00.19

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	172.55	172.76

FILE 'CAPLUS' ENTERED AT 10:09:41 ON 16 JAN 2007

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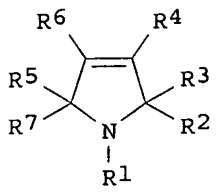
=> s l3
L4 9 L3

=> d ibib abs hitstr 8-9

L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:368866 CAPLUS
DOCUMENT NUMBER: 140:391193
TITLE: Preparation of dihydropyrroles as mitotic kinesin inhibitors for treating cellular proliferative diseases
INVENTOR(S): Breslin, Michael J.; Coleman, Paul J.; Cox, Christopher D.; Hartman, George D.; Mariano, Brenda J.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 178 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004037171	A2	20040506	WO 2003-US32405		20031014
WO 2004037171	A3	20040708			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2500848	A1	20040506	CA 2003-2500848		20031014
AU 2003287057	A1	20040513	AU 2003-287057		20031014
EP 1556052	A2	20050727	EP 2003-777578		20031014
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
JP 2006506456	T	20060223	JP 2005-501618		20031014
US 2006100191	A1	20060511	US 2005-531495		20050415
PRIORITY APPLN. INFO.:			US 2002-419570P	P	20021018
			US 2003-479712P	P	20030619
			WO 2003-US32405	W	20031014

OTHER SOURCE(S): MARPAT 140:391193
GI



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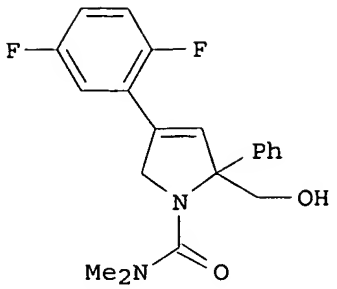


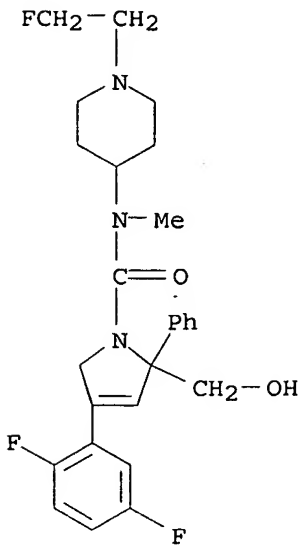
II

AB Title compds. I [wherein R1 = (un)substituted acyl(alkyl), carbamoyl(alkyl), sulfamoyl(alkyl), aryl, heterocyclyl, alkyl, etc.; R2 and R6 = independently (un)substituted aryl(alkyl), cycloalkyl, or heterocyclyl; R3 = (un)substituted alkoxyalk(en/yn)yl, carbamoylalk(en/yn)yl, alkylsulfonylalk(en/yn)yl, etc.; R4, R5, and R7 = independently H or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, perfluoroalkyl, arylalkyl, or heterocyclyl; or R5 and R7 are combined to form an oxo or sulfoxo; or pharmaceutically acceptable salt of stereoisomer thereof] were prepd. for treating cellular proliferative diseases, for treating disorders assocd. with KSP kinesin activity, and for inhibiting KSP kinesin. The invention is also related to compns. which comprise these compds., and methods of using them to treat cancer (no data). For instance, palladium catalyzed Suzuki coupling of 7a-phenyldihydro-1H-pyrrolo[1,2-c][1,3]oxazole-3,6(5H)-dione (multi-step prepn. given) and 2,5-difluorophenylboronic acid afforded 6-(2,5-difluorophenyl)-7a-phenyl-5,7a-dihydro-1H-pyrrolo[1,2-c][1,3]oxazol-3-one. The pyrrolooxazolone was treated with NaOH in EtOH to give the (hydroxymethyl)pyrrole, which was O-protected with tert-butyldimethylsilyl chloride. Reaction of the pyrrole with triphosgene and dimethylamine, followed by deprotection using triethylamine trihydrofluoride in MeCN provided II. In a kinesin ATPase assay using a human KSP motor domain construct and microtubules from bovine brain tubulin, example compds. inhibited the ATPase hydrolysis reaction with IC50 .ltoreq. 50 .mu.M.

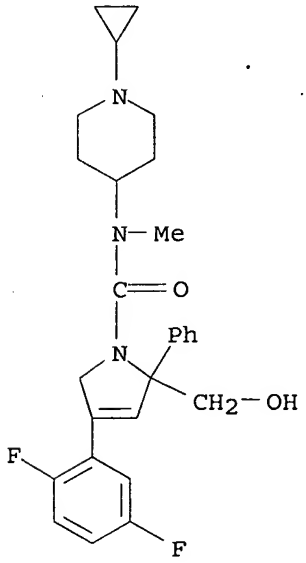
IT 686320-80-9P, 4-(2,5-Difluorophenyl)-N-[1-(2-fluoroethyl)piperidin-4-yl]-2-(hydroxymethyl)-N-methyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686320-85-4P, N-(1-Cyclopropylpiperidin-4-yl)-4-(2,5-difluorophenyl)-2-(hydroxymethyl)-N-methyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(KSP inhibitor; prepn. of dihydropyrroles as KSP inhibitors for treating proliferative diseases)

RN 686320-80-9 CAPLUS

CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-N-[1-(2-fluoroethyl)-4-piperidinyl]-2,5-dihydro-2-(hydroxymethyl)-N-methyl-2-phenyl- (9CI) (CA INDEX NAME)



RN 686320-85-4 CAPLUS
CN 1H-Pyrrole-1-carboxamide, N-(1-cyclopropyl-4-piperidinyl)-4-(2,5-difluorophenyl)-2,5-dihydro-2-(hydroxymethyl)-N-methyl-2-phenyl- (9CI) (CA INDEX NAME)



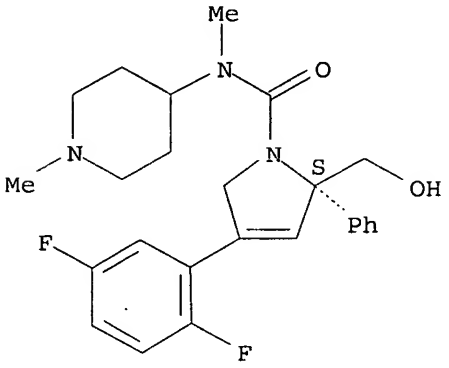
IT 686320-30-9P, (2S)-4-(2,5-Difluorophenyl)-2-(hydroxymethyl)-N-methyl-N-(1-methylpiperidin-4-yl)-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686320-79-6P, (2S)-4-(2,5-Difluorophenyl)-N-[1-(2-fluoroethyl)piperidin-4-yl]-2-(hydroxymethyl)-N-methyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686320-81-0P, (2R)-4-(2,5-Difluorophenyl)-N-[1-(2-fluoroethyl)piperidin-4-yl]-2-(hydroxymethyl)-N-methyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686320-84-3P, (2S)-N-(1-Cyclopropylpiperidin-4-yl)-4-(2,5-difluorophenyl)-2-(hydroxymethyl)-N-methyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686320-86-5P, (2R)-N-(1-Cyclopropylpiperidin-4-yl)-4-(2,5-difluorophenyl)-2-(hydroxymethyl)-N-methyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686321-43-7P 686321-44-8P 686321-51-7P, (2R)-4-(2,5-Difluorophenyl)-2-(hydroxymethyl)-N-methyl-N-(1-methylpiperidin-4-yl)-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide

RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(KSP inhibitor; prepn. of dihydropyrroles as KSP inhibitors for treating proliferative diseases)

RN 686320-30-9 CAPLUS

CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2,5-dihydro-2-(hydroxymethyl)-N-methyl-N-(1-methyl-4-piperidinyl)-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

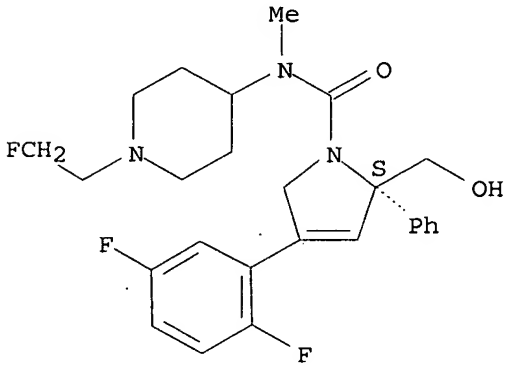
Absolute stereochemistry.



RN 686320-79-6 CAPLUS

CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-N-[1-(2-fluoroethyl)-4-piperidinyl]-2,5-dihydro-2-(hydroxymethyl)-N-methyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

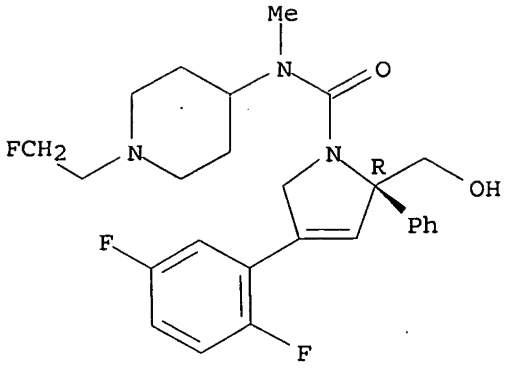
Absolute stereochemistry.



RN 686320-81-0 CAPLUS

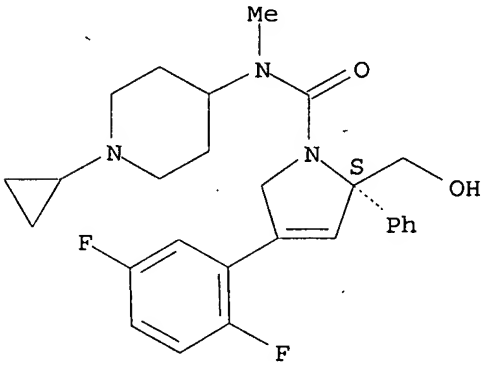
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-N-[1-(2-fluoroethyl)-4-piperidinyl]-2,5-dihydro-2-(hydroxymethyl)-N-methyl-2-phenyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



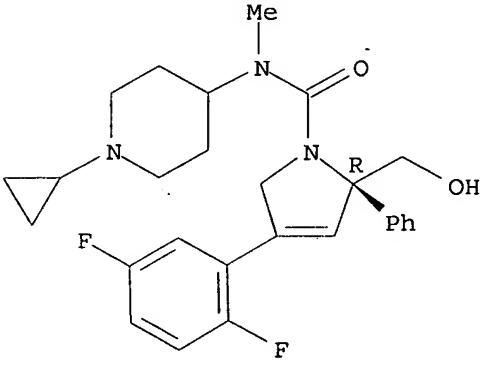
RN 686320-84-3 CAPLUS
CN 1H-Pyrrole-1-carboxamide, N-(1-cyclopropyl-4-piperidinyl)-4-(2,5-difluorophenyl)-2,5-dihydro-2-(hydroxymethyl)-N-methyl-2-phenyl-, (2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



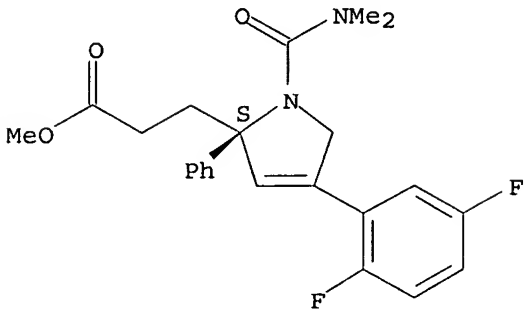
RN 686320-86-5 CAPLUS
CN 1H-Pyrrole-1-carboxamide, N-(1-cyclopropyl-4-piperidinyl)-4-(2,5-difluorophenyl)-2,5-dihydro-2-(hydroxymethyl)-N-methyl-2-phenyl-, (2R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



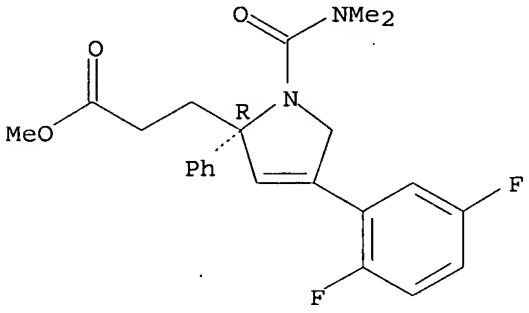
RN 686321-43-7 CAPLUS
CN 1H-Pyrrole-2-propanoic acid, 4-(2,5-difluorophenyl)-1-[(dimethylamino)carbonyl]-2,5-dihydro-2-phenyl-, methyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



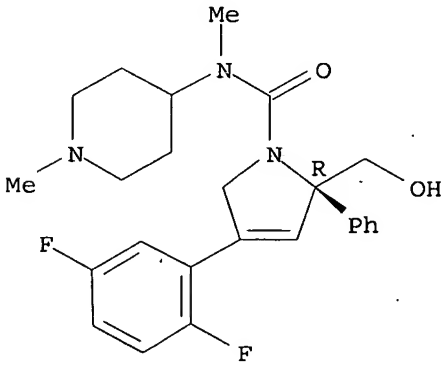
RN 686321-44-8 CAPLUS
CN 1H-Pyrrole-2-propanoic acid, 4-(2,5-difluorophenyl)-1-[(dimethylamino)carbonyl]-2,5-dihydro-2-phenyl-, methyl ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 686321-51-7 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2,5-dihydro-2-(hydroxymethyl)-N-methyl-N-(1-methyl-4-piperidinyl)-2-phenyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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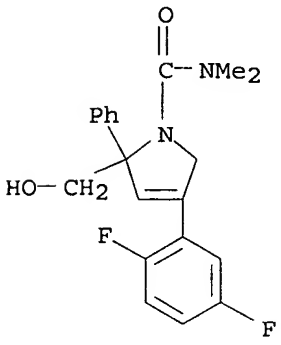
1H-pyrrol-2-yl]prop-2-en-1-ol 686320-38-7P, 4-(2,5-Difluorophenyl)-N,N-dimethyl-2-(3-oxopropyl)-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686320-39-8P, 2-(3-Hydroxypropyl)-4-(2,5-difluorophenyl)-N,N-dimethyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686320-42-3P 686320-88-7P 686321-00-6P, 2-[3-[(2,2-Difluoroethyl)amino]propyl]-4-(2,5-difluorophenyl)-N,N-dimethyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686321-17-5P, 2-(3-Aminopropyl)-4-(5-chloro-2-fluorophenyl)-N,N-dimethyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686321-30-2P, 2-(2,2-Difluoro-3-hydroxypropyl)-4-(2,5-difluorophenyl)-N,N-dimethyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(KSP inhibitor; prepn. of dihydropyrroles as KSP inhibitors for treating proliferative diseases)

RN 686320-29-6 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2,5-dihydro-2-(hydroxymethyl)-N,N-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



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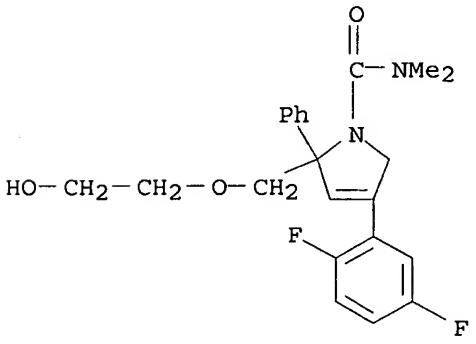

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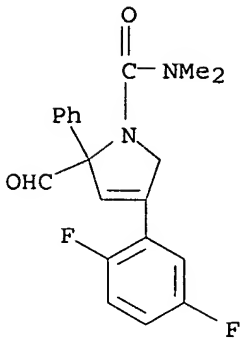

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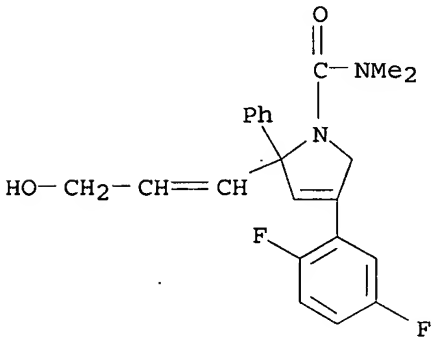
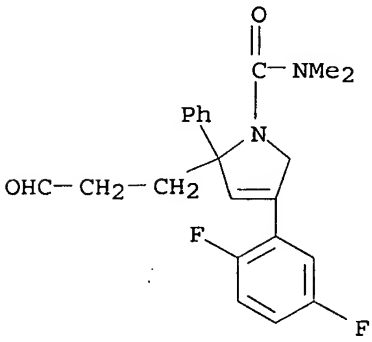
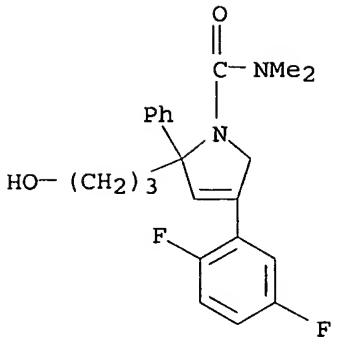


RN 686320-38-7 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2,5-dihydro-N,N-dimethyl-2-(3-oxopropyl)-2-phenyl- (9CI) (CA INDEX NAME)



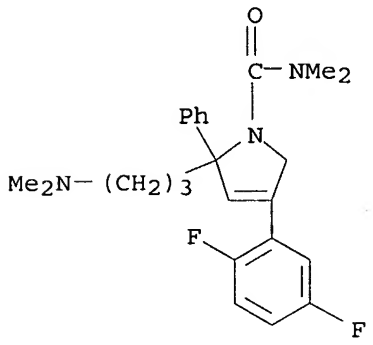
RN 686320-39-8 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2,5-dihydro-2-(3-hydroxypropyl)-N,N-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



RN 686320-42-3 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2-[3-(dimethylamino)propyl]-2,5-dihydro-N,N-dimethyl-2-phenyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

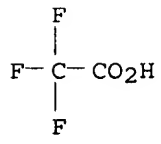
CM 1

CRN 686320-41-2
CMF C24 H29 F2 N3 O

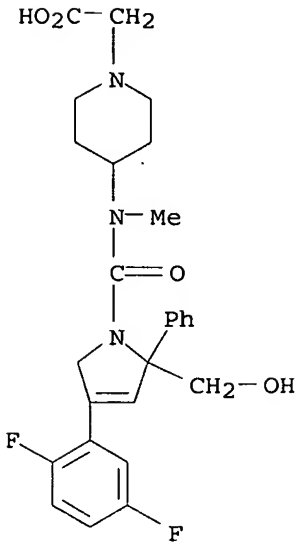


CM 2

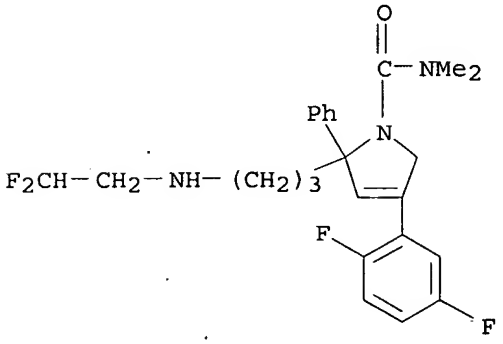
CRN 76-05-1
CMF C2 H F3 O2



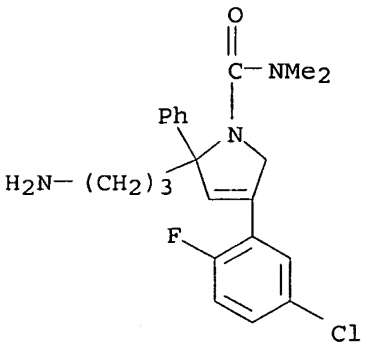
RN 686320-88-7 CAPLUS
CN 1-Piperidineacetic acid, 4-[[[4-(2,5-difluorophenyl)-2,5-dihydro-2-(hydroxymethyl)-2-phenyl-1H-pyrrol-1-yl]carbonyl]methylamino]- (9CI) (CA INDEX NAME)



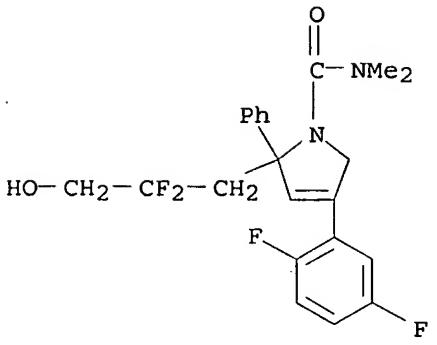
RN 686321-00-6 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 2-[3-[(2,2-difluoroethyl)amino]propyl]-4-(2,5-difluorophenyl)-2,5-dihydro-N,N-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



RN 686321-17-5 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 2-(3-aminopropyl)-4-(5-chloro-2-fluorophenyl)-2,5-dihydro-N,N-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



RN 686321-30-2 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 2-(2,2-difluoro-3-hydroxypropyl)-4-(2,5-difluorophenyl)-2,5-dihydro-N,N-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



IT 686320-31-0P, 4-(2,5-Difluorophenyl)-2-(methoxymethyl)-N,N-dimethyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide
686320-33-2P, 2-[(2-Aminoethoxy)methyl]-4-(2,5-difluorophenyl)-N,N-dimethyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide hydrochloride
686320-35-4P, 4-(2,5-Difluorophenyl)-2-[[[2-(dimethylamino)ethyl]amino]methyl]-N,N-dimethyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686320-36-5P 686320-40-1P,
2-(3-Aminopropyl)-4-(2,5-difluorophenyl)-N,N-dimethyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide hydrochloride 686320-41-2P,
4-(2,5-Difluorophenyl)-2-[3-(dimethylamino)propyl]-N,N-dimethyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686320-43-4P,
4-(2,5-Difluorophenyl)-2-(1-hydroxyethyl)-N,N-dimethyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686320-44-5P,
4-(2,5-Difluorophenyl)-2-(1-hydroxypropyl)-N,N-dimethyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686320-45-6P,
4-(2,5-Difluorophenyl)-2-[1-hydroxy-2-methylpropyl]-N,N-dimethyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686320-46-7P,
4-(2,5-Difluorophenyl)-2-[(hydroxy)(cyclopropyl)methyl]-N,N-dimethyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686320-53-6P,
[4-(2,5-Difluorophenyl)-2-phenyl-1-[[(tetrahydro-2H-pyran-4-yl)(methyl)amino]carbonyl]-2,5-dihydro-1H-pyrrol-2-yl]methanol
686320-54-7P, [4-(2,5-Difluorophenyl)-2-phenyl-1-[[[3-(methylamino)-2-methylpropyl](methyl)amino]carbonyl]-2,5-dihydro-1H-pyrrol-2-yl]methanol 686320-55-8P, [4-(2,5-Difluorophenyl)-2-phenyl-1-[[(1-methylpyrrolidin-3-yl)(methyl)amino]carbonyl]-2,5-dihydro-1H-pyrrol-2-yl]methanol 686320-56-9P, [4-(2,5-Difluorophenyl)-2-phenyl-1-[[(1-benzylpyrrolidin-3-yl)(methyl)amino]carbonyl]-2,5-dihydro-1H-pyrrol-2-yl]methanol 686320-57-0P, [4-(2,5-Difluorophenyl)-2-phenyl-1-[[(pyrrolidin-3-yl)(methyl)amino]carbonyl]-2,5-dihydro-1H-pyrrol-2-yl]methanol 686320-58-1P, [4-(2,5-Difluorophenyl)-2-phenyl-1-[[(1-methylpiperidin-4-yl)(cyclopropyl)amino]carbonyl]-2,5-dihydro-1H-pyrrol-2-yl]methanol 686320-59-2P, [4-(2,5-Difluorophenyl)-2-phenyl-1-[[(1-methylpiperidin-4-yl)amino]carbonyl]-2,5-dihydro-1H-pyrrol-2-yl]methanol 686320-60-5P, [4-(2,5-Difluorophenyl)-2-phenyl-1-[[(benzyl)(methyl)amino]carbonyl]-2,5-dihydro-1H-pyrrol-2-yl]methanol
686320-63-8P, 4-(2,5-Difluorophenyl)-N-[1-(N,N-dimethylglycyl)piperidin-4-yl]-2-(hydroxymethyl)-N-methyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686320-64-9P,
4-(2,5-Difluorophenyl)-2-(hydroxymethyl)-N-methyl-N-[1-(morpholin-4-ylacetyl)piperidin-4-yl]-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide
686320-65-0P, 4-(2,5-Difluorophenyl)-2-(hydroxymethyl)-N-methyl-N-(piperidin-4-yl)-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide
686320-66-1P, 4-(2,5-Difluorophenyl)-2-(hydroxymethyl)-N-methyl-N-[1-(2-aminoacetyl)piperidin-4-yl]-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686320-67-2P 686320-68-3P,
4-(2,5-Difluorophenyl)-2-(hydroxymethyl)-N-methyl-N-[1-(piperidin-1-ylacetyl)piperidin-4-yl]-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide
686320-69-4P, 4-(2,5-Difluorophenyl)-2-(hydroxymethyl)-N-methyl-N-[1-(azetidin-1-ylacetyl)piperidin-4-yl]-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686320-70-7P, 4-(2,5-Difluorophenyl)-2-

(hydroxymethyl)-N-methyl-N-[1-[2-(morpholin-4-yl)-1-oxopropyl]piperidin-4-yl]-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686320-71-8P, 4-(2,5-Difluorophenyl)-2-(hydroxymethyl)-N-methyl-N-[1-[2-(ethylamino)acetyl]piperidin-4-yl]-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686320-72-9P, 4-(2,5-Difluorophenyl)-2-(hydroxymethyl)-N-methyl-2-phenyl-N-(piperidin-3-yl)-2,5-dihydro-1H-pyrrole-1-carboxamide 686320-73-0P, 4-(2,5-Difluorophenyl)-2-(hydroxymethyl)-N-methyl-2-phenyl-N-(1-methylpiperidin-3-yl)-2,5-dihydro-1H-pyrrole-1-carboxamide 686320-74-1P, 4-(2,5-Difluorophenyl)-2-(hydroxymethyl)-N-methyl-2-phenyl-N-(1-ethylpiperidin-3-yl)-2,5-dihydro-1H-pyrrole-1-carboxamide 686320-75-2P, 4-(2,5-Difluorophenyl)-2-(hydroxymethyl)-N-methyl-2-phenyl-N-[1-(1-methylethyl)piperidin-3-yl]-2,5-dihydro-1H-pyrrole-1-carboxamide 686320-76-3P, 4-(2,5-Difluorophenyl)-2-(hydroxymethyl)-N-methyl-2-phenyl-N-[1-[2-(dimethylamino)acetyl]piperidin-3-yl]-2,5-dihydro-1H-pyrrole-1-carboxamide 686320-77-4P, N-[1-(2,2-Difluoroethyl)piperidin-4-yl]-4-(2,5-difluorophenyl)-2-(hydroxymethyl)-N-methyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686320-78-5P, 4-(2,5-Difluorophenyl)-N-[1-(2-hydroxyethyl)piperidin-4-yl]-2-(hydroxymethyl)-N-methyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686320-82-1P 686320-83-2P, 4-(2,5-Difluorophenyl)-N-[1-[2-fluoro-1-(fluoromethyl)ethyl]piperidin-4-yl]-2-(hydroxymethyl)-N-methyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686320-87-6P, Benzyl 2-[4-[[[4-(2,5-difluorophenyl)-2-(hydroxymethyl)-2-phenyl-2,5-dihydro-1H-pyrrol-1-yl]carbonyl](methyl)amino]piperidin-1-yl]acetate 686320-89-8P, Methyl 2-[4-[[[4-(2,5-difluorophenyl)-2-(hydroxymethyl)-2-phenyl-2,5-dihydro-1H-pyrrol-1-yl]carbonyl](methyl)amino]piperidin-1-yl]acetate 686320-90-1P, 4-(2,5-Difluorophenyl)-2-(hydroxymethyl)-N-methyl-N-[1-(1-methylethyl)piperidin-4-yl]-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686320-91-2P, 4-(2,5-Difluorophenyl)-2-(hydroxymethyl)-N-methyl-N-(1-ethylpiperidin-4-yl)-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686320-92-3P, 4-(2,5-Difluorophenyl)-2-(hydroxymethyl)-N-methyl-N-[1-(cyclopropylmethyl)piperidin-4-yl]-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686320-93-4P, 4-(2,5-Difluorophenyl)-2-(hydroxymethyl)-N-methyl-N-[1-(2-fluoro-1-methylethyl)piperidin-4-yl]-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686320-94-5P, 4-(2,5-Difluorophenyl)-2-(hydroxymethyl)-N-methyl-N-[1-(2,2-difluoro-1-methylethyl)piperidin-4-yl]-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686320-95-6P, 4-(2,5-Difluorophenyl)-2-(hydroxymethyl)-N-methyl-N-[1-(3,3,3-trifluoropropyl)piperidin-4-yl]-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686320-96-7P, 4-(2,5-Difluorophenyl)-2-(hydroxymethyl)-N-methyl-N-(1-benzylpiperidin-4-yl)-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686320-97-8P, 4-(2,5-Difluorophenyl)-2-(hydroxymethyl)-N-methyl-N-[1-(pyridin-4-ylmethyl)piperidin-4-yl]-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686320-98-9P, 4-(2,5-Difluorophenyl)-2-(methoxymethyl)-N-methyl-N-(1-methylpiperidin-4-yl)-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686321-01-7P, 2-[3-[(2,2-Difluoroethyl)(methyl)amino]propyl]-4-(2,5-difluorophenyl)-N,N-dimethyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686321-02-8P, 2-[3-(Ethylamino)propyl]-4-(2,5-difluorophenyl)-N,N-dimethyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686321-03-9P 686321-04-0P, 2-[3-[(2-Fluoroethyl)amino]propyl]-4-(2,5-difluorophenyl)-N,N-dimethyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686321-05-1P, 2-[3-[(2,2,2-Trifluoroethyl)amino]propyl]-4-(2,5-difluorophenyl)-N,N-dimethyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686321-06-2P, 2-[3-[(Pyridin-2-yl)amino]propyl]-4-(2,5-difluorophenyl)-N,N-dimethyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686321-07-3P, 2-[3-(Benzylamino)propyl]-4-(2,5-difluorophenyl)-N,N-dimethyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686321-08-4P, 2-[3-[(Pyridin-4-ylmethyl)amino]propyl]-4-(2,5-difluorophenyl)-N,N-dimethyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686321-09-5P 686321-10-8P, 2-[3-[(4-

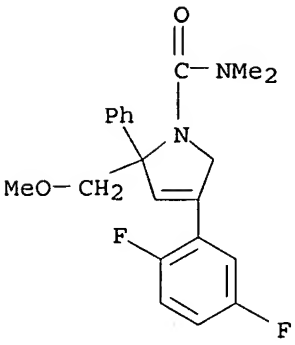
Chlorobenzyl)amino]propyl]-4-(2,5-difluorophenyl)-N,N-dimethyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686321-11-9P, 2-[3-[(4-Nitrobenzyl)amino]propyl]-4-(2,5-difluorophenyl)-N,N-dimethyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686321-12-0P, 2-[3-[(2,2-Difluoroethyl)(benzyl)amino]propyl]-4-(2,5-difluorophenyl)-N,N-dimethyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686321-13-1P, 2-[3-[(2,2-Difluoroethyl)(pyridin-4-ylmethyl)amino]propyl]-4-(2,5-difluorophenyl)-N,N-dimethyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686321-14-2P, 2-[3-[[(4-Methyl-1H-imidazol-2-yl)methyl]amino]propyl]-4-(2,5-difluorophenyl)-N,N-dimethyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686321-15-3P 686321-16-4P 686321-18-6P, 2-[3-(Acetylamino)propyl]-4-(5-chloro-2-fluorophenyl)-N,N-dimethyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686321-19-7P, 4-(5-Chloro-2-fluorophenyl)-N,N-dimethyl-2-[3-[(methylsulfonyl)amino]propyl]-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686321-20-0P, Methyl [3-[4-(5-chloro-2-fluorophenyl)-1-[(dimethylamino)carbonyl]-2-phenyl-2,5-dihydro-1H-pyrrol-2-yl]propyl]carbamate 686321-21-1P, 2-[3-[(Aminocarbonyl)amino]propyl]-4-(5-chloro-2-fluorophenyl)-N,N-dimethyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686321-23-3P, 2-(3-Anilino-3-oxopropyl)-4-(2,5-difluorophenyl)-N,N-dimethyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686321-24-4P 686321-25-5P, 4-(2,5-Difluorophenyl)-2-[3-(hydroxyamino)-3-oxopropyl]-N,N-dimethyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686321-26-6P, 4-(2,5-Difluorophenyl)-2-(3-amino-3-oxopropyl)-N,N-dimethyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686321-27-7P, 4-(2,5-Difluorophenyl)-2-[3-(methoxyamino)-3-oxopropyl]-N,N-dimethyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686321-29-9P, 2-(3-Amino-2,2-difluoropropyl)-4-(2,5-difluorophenyl)-N,N-dimethyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686321-33-5P, 4-(2,5-Difluorophenyl)-2-[3-(dimethylamino)propyl]-N-methyl-2-phenyl-N-(tetrahydro-2H-pyran-4-yl)-2,5-dihydro-1H-pyrrole-1-carboxamide 686321-34-6P 686321-35-7P, 1-[4-(2,5-Difluorophenyl)-2-[3-(dimethylamino)propyl]-2-phenyl-2,5-dihydro-1H-pyrrol-1-yl]-2-methyl-1-oxopropan-2-ol 686321-36-8P 686321-37-9P 686321-39-1P 686321-41-5P 686321-42-6P 686321-46-0P 686321-47-1P, 4-(2,5-Difluorophenyl)-2-(hydroxymethyl)-N-methyl-N-(1-methylpiperidin-4-yl)-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686321-48-2P, 2-[(2-Aminoethoxy)methyl]-4-(2,5-difluorophenyl)-N,N-dimethyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686321-49-3P, 2-(3-Aminopropyl)-4-(2,5-difluorophenyl)-N,N-dimethyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide 686321-50-6P, 2-[3-[(4-Nitrophenyl)amino]propyl]-4-(2,5-difluorophenyl)-N,N-dimethyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

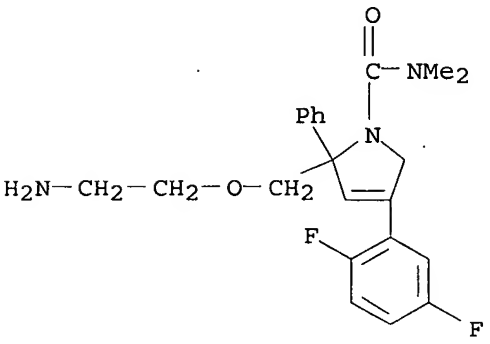
(KSP inhibitor; prepn. of dihydropyrroles as KSP inhibitors for treating proliferative diseases)

RN 686320-31-0 CAPLUS

CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2,5-dihydro-2-(methoxymethyl)-N,N-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)

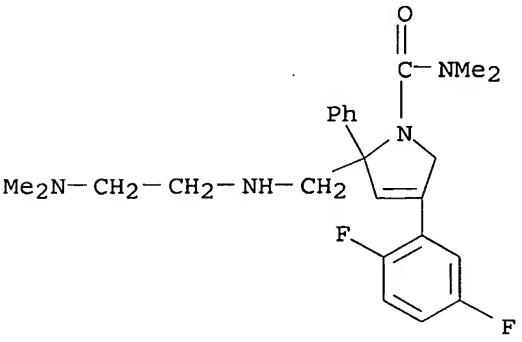


RN 686320-33-2 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 2-[(2-aminoethoxy)methyl]-4-(2,5-difluorophenyl)-2,5-dihydro-N,N-dimethyl-2-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

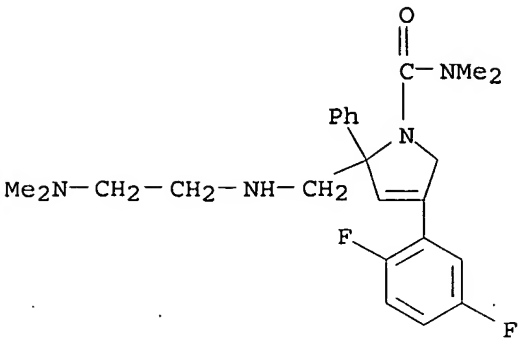
RN 686320-35-4 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2-[[[2-(dimethylamino)ethyl]amino]methyl]-2,5-dihydro-N,N-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



RN 686320-36-5 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2-[[[2-(dimethylamino)ethyl]amino]methyl]-2,5-dihydro-N,N-dimethyl-2-phenyl-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

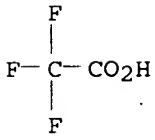
CM 1

CRN 686320-35-4
CMF C24 H30 F2 N4 O

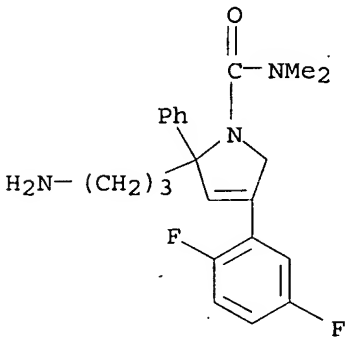


CM 2

CRN 76-05-1
CMF C2 H F3 O2

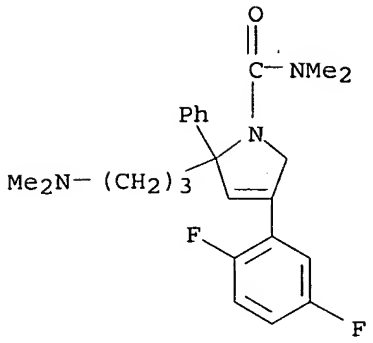


RN 686320-40-1 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 2-(3-aminopropyl)-4-(2,5-difluorophenyl)-2,5-dihydro-N,N-dimethyl-2-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

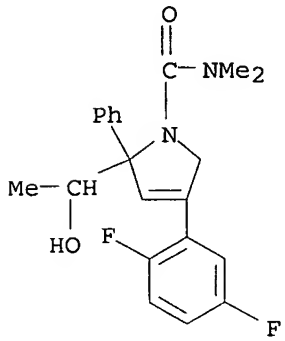


● HCl

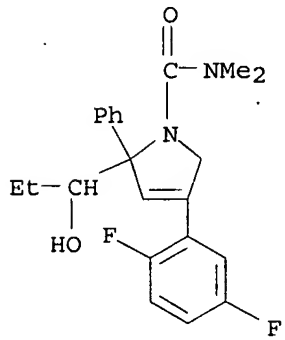
RN 686320-41-2 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2-[3-(dimethylamino)propyl]-2,5-dihydro-N,N-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



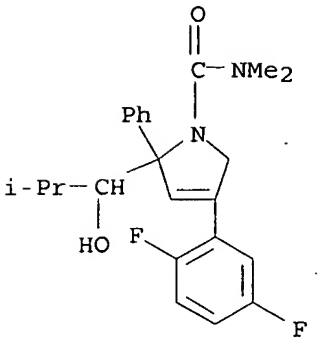
RN 686320-43-4 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2,5-dihydro-2-(1-hydroxyethyl)-N,N-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



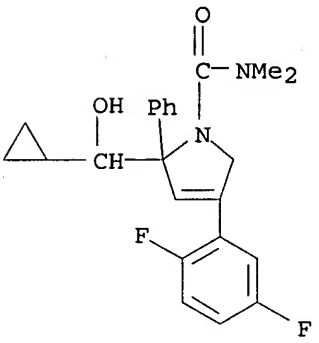
RN 686320-44-5 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2,5-dihydro-2-(1-hydroxypropyl)-N,N-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



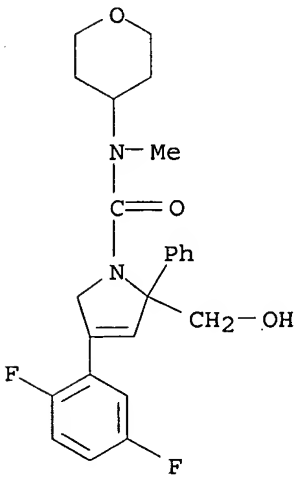
RN 686320-45-6 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2,5-dihydro-2-(1-hydroxy-2-methylpropyl)-N,N-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



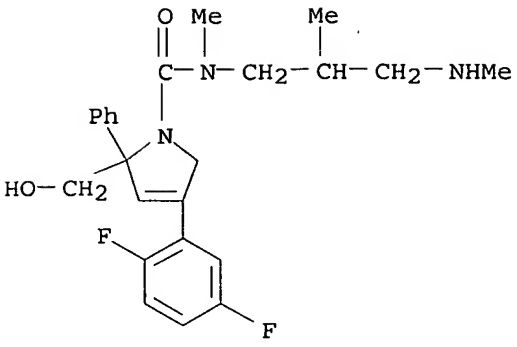
RN 686320-46-7 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 2-(cyclopropylhydroxymethyl)-4-(2,5-difluorophenyl)-2,5-dihydro-N,N-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



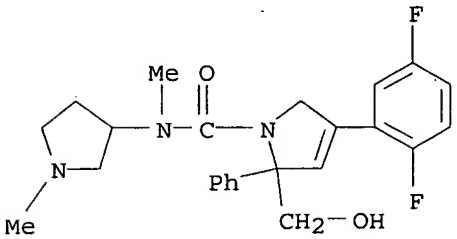
RN 686320-53-6 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2,5-dihydro-2-(hydroxymethyl)-N-methyl-2-phenyl-N-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)



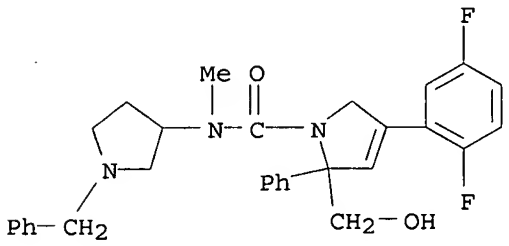
RN 686320-54-7 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2,5-dihydro-2-(hydroxymethyl)-N-methyl-N-[2-methyl-3-(methylamino)propyl]-2-phenyl- (9CI) (CA INDEX NAME)



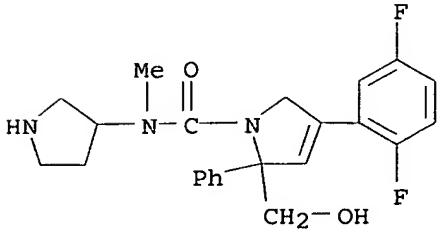
RN 686320-55-8 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2,5-dihydro-2-(hydroxymethyl)-N-methyl-N-(1-methyl-3-pyrrolidinyl)-2-phenyl- (9CI) (CA INDEX NAME)



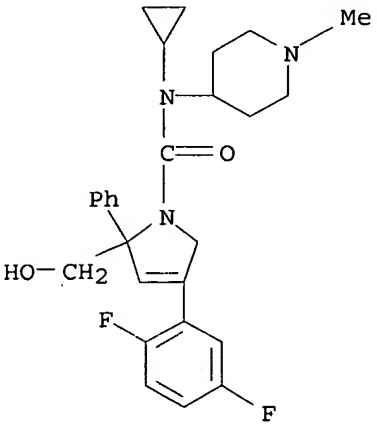
RN 686320-56-9 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2,5-dihydro-2-(hydroxymethyl)-N-methyl-2-phenyl-N-[1-(phenylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)



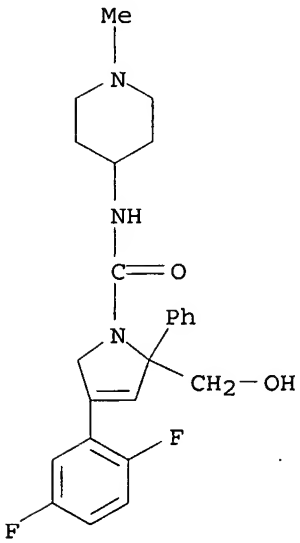
RN 686320-57-0 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2,5-dihydro-2-(hydroxymethyl)-N-methyl-2-phenyl-N-3-pyrrolidinyl- (9CI) (CA INDEX NAME)



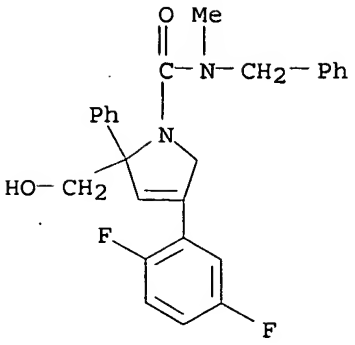
RN 686320-58-1 CAPLUS
CN 1H-Pyrrole-1-carboxamide, N-cyclopropyl-4-(2,5-difluorophenyl)-2,5-dihydro-2-(hydroxymethyl)-N-(1-methyl-4-piperidinyl)-2-phenyl- (9CI) (CA INDEX NAME)



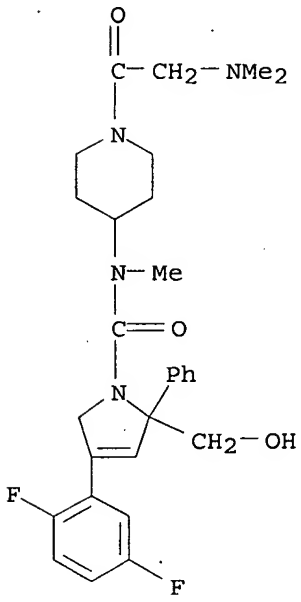
RN 686320-59-2 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2,5-dihydro-2-(hydroxymethyl)-N-(1-methyl-4-piperidinyl)-2-phenyl- (9CI) (CA INDEX NAME)



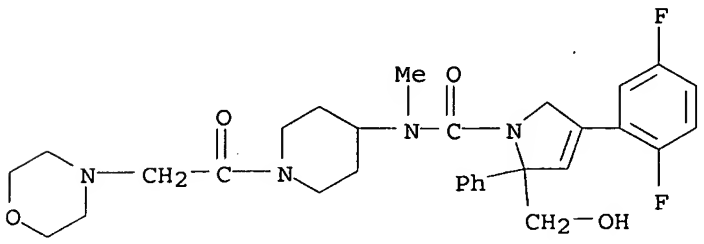
RN 686320-60-5 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2,5-dihydro-2-(hydroxymethyl)-N-methyl-2-phenyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



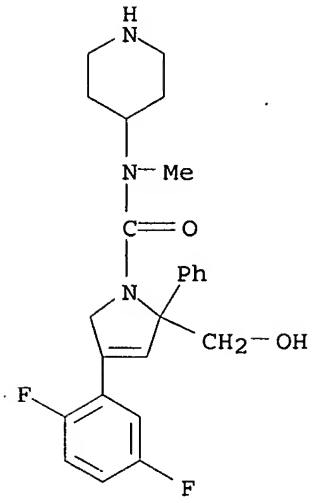
RN 686320-63-8 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-N-[1-[(dimethylamino)acetyl]-4-piperidinyl]-2,5-dihydro-2-(hydroxymethyl)-N-methyl-2-phenyl- (9CI) (CA INDEX NAME)



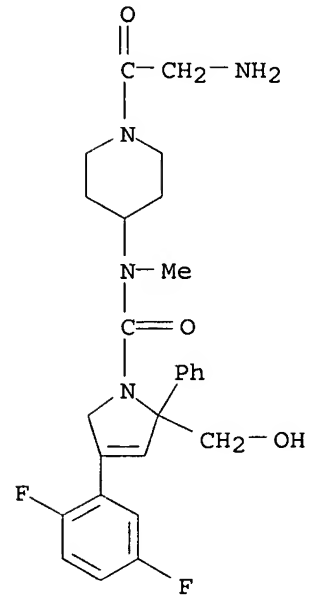
RN 686320-64-9 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2,5-dihydro-2-(hydroxymethyl)-N-methyl-N-[1-(4-morpholinylacetyl)-4-piperidinyl]-2-phenyl- (9CI) (CA INDEX NAME)



RN 686320-65-0 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2,5-dihydro-2-(hydroxymethyl)-N-methyl-2-phenyl-N-4-piperidinyl- (9CI) (CA INDEX NAME)



RN 686320-66-1 CAPLUS
CN 1H-Pyrrole-1-carboxamide, N-[1-(aminoacetyl)-4-piperidinyl]-4-(2,5-difluorophenyl)-2,5-dihydro-2-(hydroxymethyl)-N-methyl-2-phenyl- (9CI) (CA INDEX NAME)



RN 686320-67-2 CAPLUS
CN 1H-Pyrrole-1-carboxamide, N-[1-(aminoacetyl)-4-piperidinyl]-4-(2,5-difluorophenyl)-2,5-dihydro-2-(hydroxymethyl)-N-methyl-2-phenyl-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 686320-66-1
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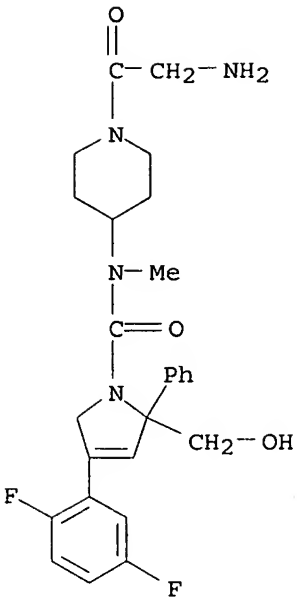

CM 2

CRN 76-05-1
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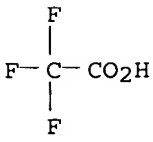

RN 686320-68-3 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2,5-dihydro-2-(hydroxymethyl)-N-methyl-2-phenyl-N-[1-(1-piperidinylacetyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

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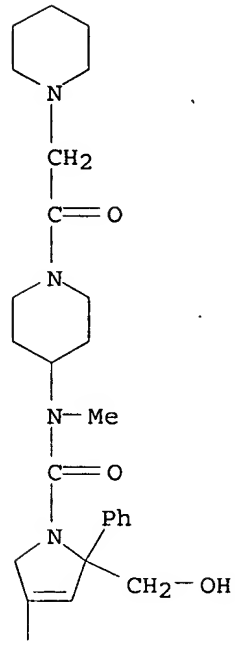

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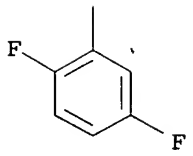
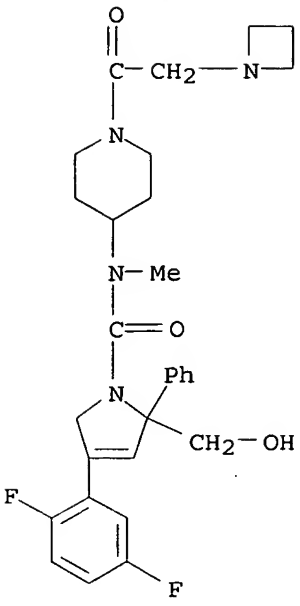


RN 686320-69-4 CAPLUS
CN 1H-Pyrrole-1-carboxamide, N-[1-(1-azetidinylacetyl)-4-piperidinyl]-4-(2,5-difluorophenyl)-2,5-dihydro-2-(hydroxymethyl)-N-methyl=2-phenyl- (9CI) (CA INDEX NAME)



RN 686320-70-7 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2,5-dihydro-2-(hydroxymethyl)-N-methyl-N-[1-[2-(4-morpholinyl)-1-oxopropyl]-4-piperidinyl]-2-phenyl- (9CI) (CA INDEX NAME)

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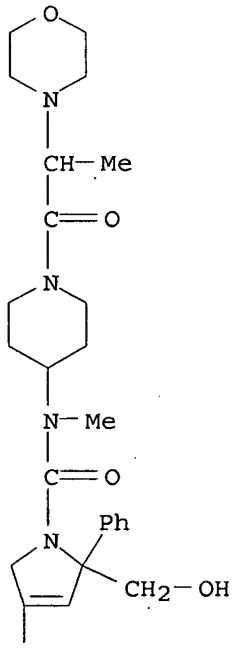
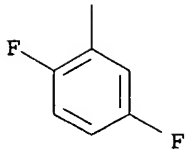
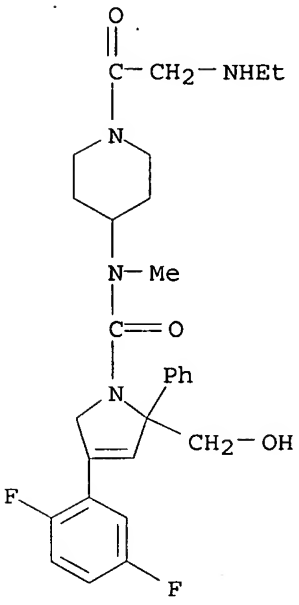
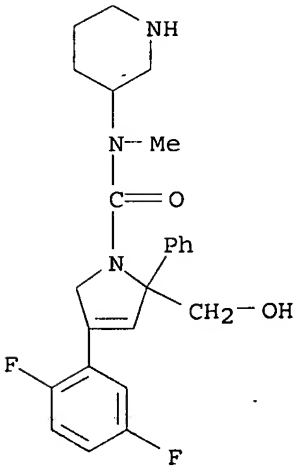




RN 686320-71-8 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-N-[1-[(ethylamino)acetyl]-4-piperidinyl]-2,5-dihydro-2-(hydroxymethyl)-N-methyl-2-phenyl- (9CI) (CA INDEX NAME)

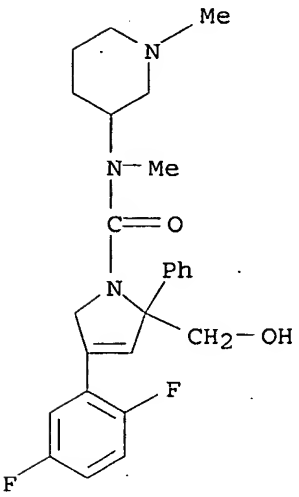


RN 686320-72-9 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2,5-dihydro-2-(hydroxymethyl)-N-methyl-2-phenyl-N-3-piperidinyl- (9CI) (CA INDEX NAME)

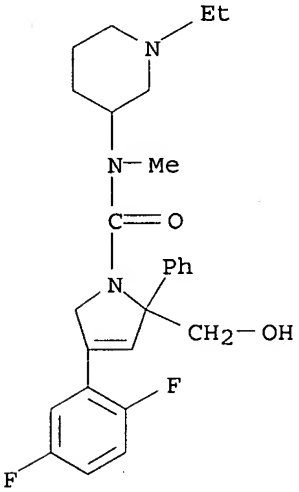


RN 686320-73-0 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2,5-dihydro-2-

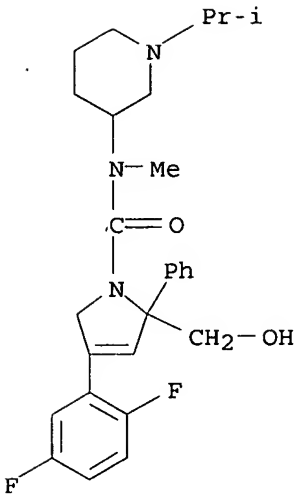
(hydroxymethyl)-N-methyl-N-(1-methyl-3-piperidinyl)-2-phenyl- (9CI) (CA INDEX NAME)



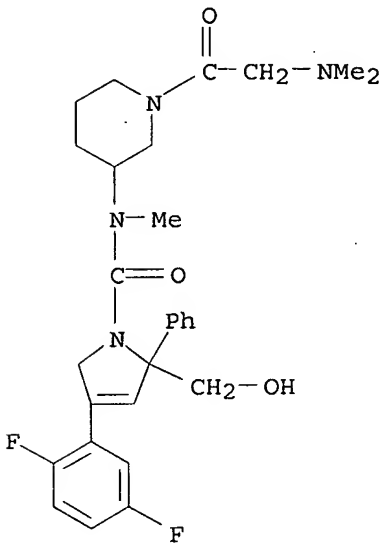
RN 686320-74-1 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-N-(1-ethyl-3-piperidinyl)-2,5-dihydro-2-(hydroxymethyl)-N-methyl-2-phenyl- (9CI) (CA INDEX NAME)



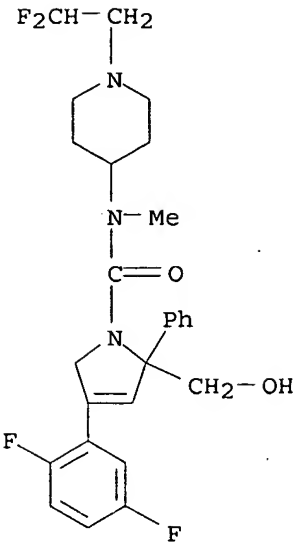
RN 686320-75-2 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2,5-dihydro-2-(hydroxymethyl)-N-methyl-N-[1-(1-methylethyl)-3-piperidinyl]-2-phenyl- (9CI) (CA INDEX NAME)



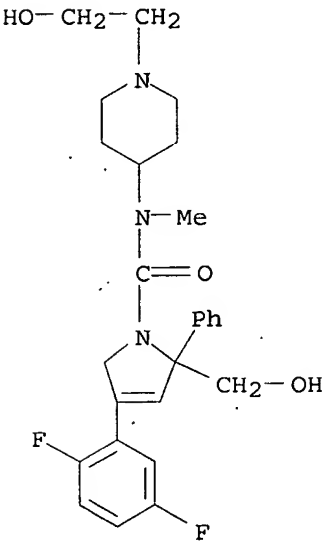
RN 686320-76-3 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-N-[1-[(dimethylamino)acetyl]-3-piperidinyl]-2,5-dihydro-2-(hydroxymethyl)-N-methyl-2-phenyl- (9CI) (CA INDEX NAME)



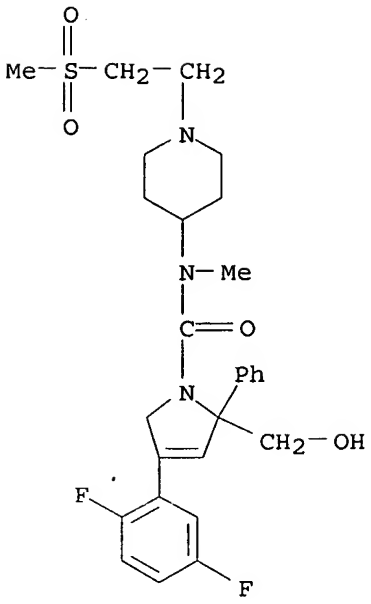
RN 686320-77-4 CAPLUS
CN 1H-Pyrrole-1-carboxamide, N-[1-(2,2-difluoroethyl)-4-piperidinyl]-4-(2,5-difluorophenyl)-2,5-dihydro-2-(hydroxymethyl)-N-methyl-2-phenyl- (9CI) (CA INDEX NAME)



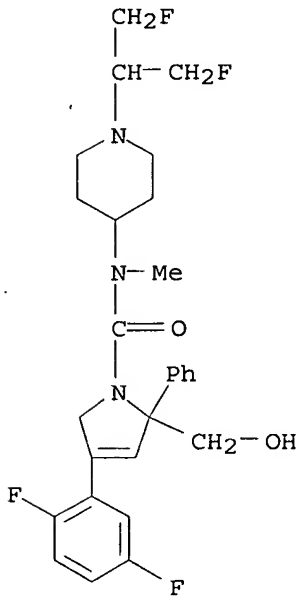
RN 686320-78-5 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2,5-dihydro-N-[1-(2-hydroxyethyl)-4-piperidinyl]-2-(hydroxymethyl)-N-methyl-2-phenyl- (9CI) (CA INDEX NAME)



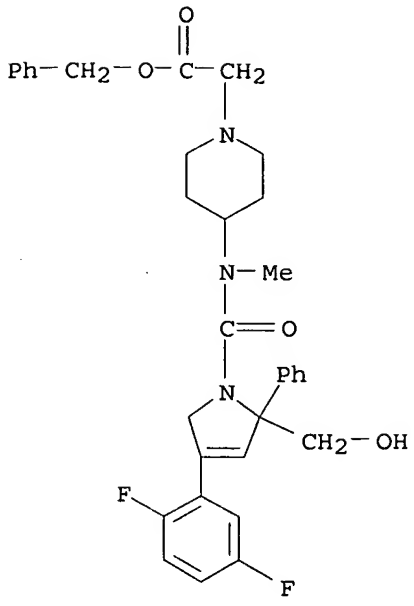
RN 686320-82-1 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2,5-dihydro-2-(hydroxymethyl)-N-methyl-N-[1-[2-(methylsulfonyl)ethyl]-4-piperidinyl]-2-phenyl- (9CI) (CA INDEX NAME)



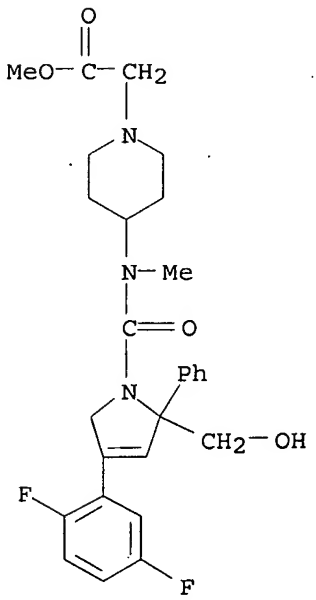
RN 686320-83-2 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-N-[1-[2-fluoro-1-(fluoromethyl)ethyl]-4-piperidinyl]-2,5-dihydro-2-(hydroxymethyl)-N-methyl-2-phenyl- (9CI) (CA INDEX NAME)



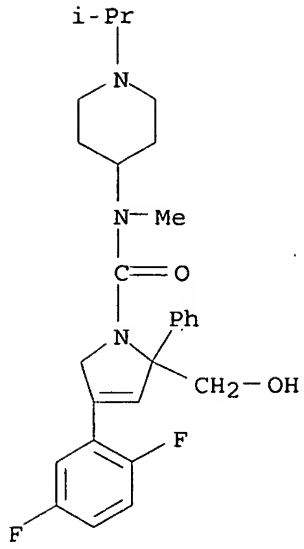
RN 686320-87-6 CAPLUS
CN 1-Piperidineacetic acid, 4-[[[4-(2,5-difluorophenyl)-2,5-dihydro-2-(hydroxymethyl)-2-phenyl-1H-pyrrol-1-yl]carbonyl]methylamino]-, phenylmethyl ester (9CI) (CA INDEX NAME)



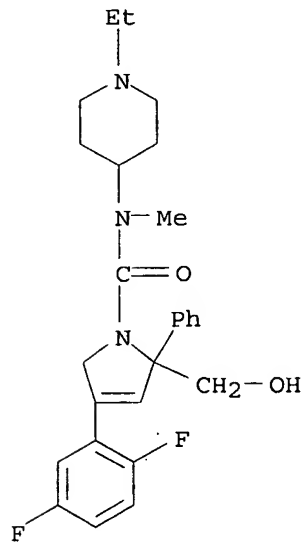
RN 686320-89-8 CAPLUS
CN 1-Piperidineacetic acid, 4-[[[4-(2,5-difluorophenyl)-2,5-dihydro-2-(hydroxymethyl)-2-phenyl-1H-pyrrol-1-yl]carbonyl]methylamino]-, methyl ester (9CI) (CA INDEX NAME)



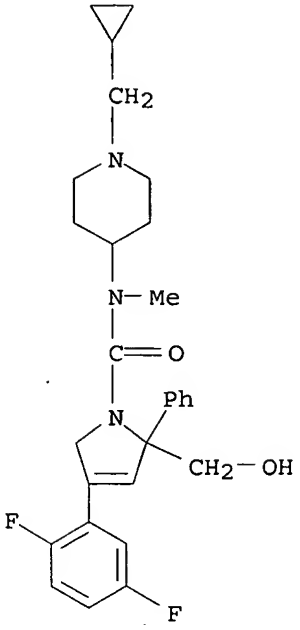
RN 686320-90-1 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2,5-dihydro-2-(hydroxymethyl)-N-methyl-N-[1-(1-methylethyl)-4-piperidinyl]-2-phenyl- (9CI) (CA INDEX NAME)



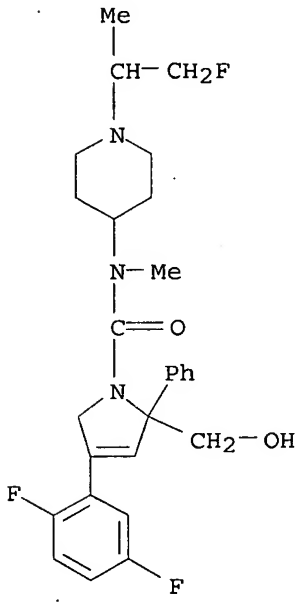
RN 686320-91-2 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-N-(1-ethyl-4-piperidinyl)-2,5-dihydro-2-(hydroxymethyl)-N-methyl-2-phenyl- (9CI) (CA INDEX NAME)



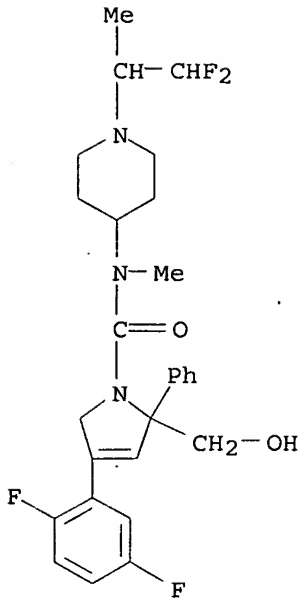
RN 686320-92-3 CAPLUS
CN 1H-Pyrrole-1-carboxamide, N-[1-(cyclopropylmethyl)-4-piperidinyl]-4-(2,5-difluorophenyl)-2,5-dihydro-2-(hydroxymethyl)-N-methyl-2-phenyl- (9CI) (CA INDEX NAME)



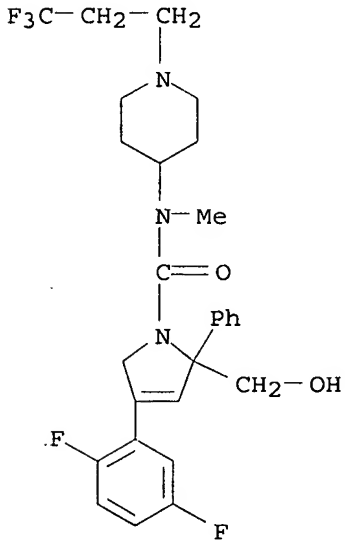
RN 686320-93-4 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-N-[1-(2-fluoro-1-methylethyl)-4-piperidinyl]-2,5-dihydro-2-(hydroxymethyl)-N-methyl-2-phenyl- (9CI) (CA INDEX NAME)



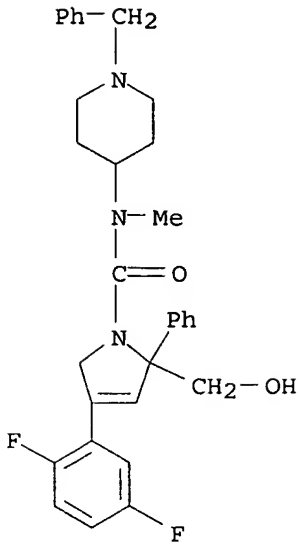
RN 686320-94-5 CAPLUS
CN. 1H-Pyrrole-1-carboxamide, N-[1-(2,2-difluoro-1-methylethyl)-4-piperidinyl]-4-(2,5-difluorophenyl)-2,5-dihydro-2-(hydroxymethyl)-N-methyl-2-phenyl- (9CI) (CA INDEX NAME)



RN 686320-95-6 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2,5-dihydro-2-(hydroxymethyl)-N-methyl-2-phenyl-N-[1-(3,3,3-trifluoropropyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

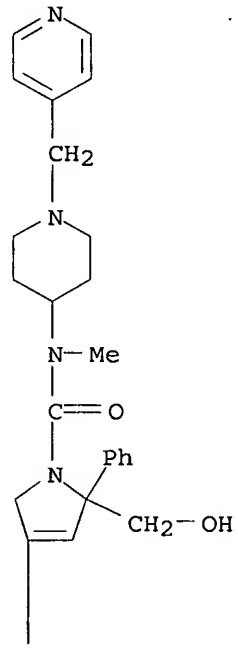


RN 686320-96-7 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2,5-dihydro-2-(hydroxymethyl)-N-methyl-2-phenyl-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

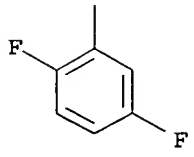


RN 686320-97-8 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2,5-dihydro-2-(hydroxymethyl)-N-methyl-2-phenyl-N-[1-(4-pyridinylmethyl)-4-piperidinyl]-(9CI) (CA INDEX NAME)

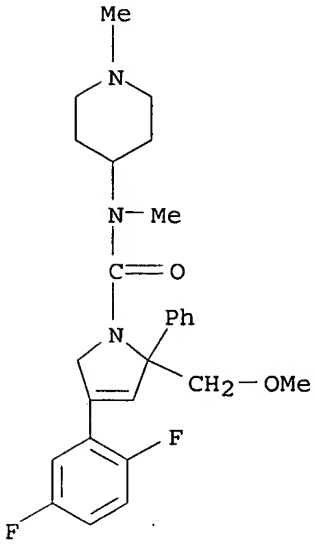
PAGE 1-A



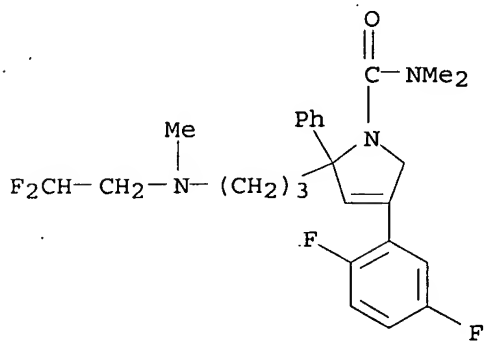
PAGE 2-A



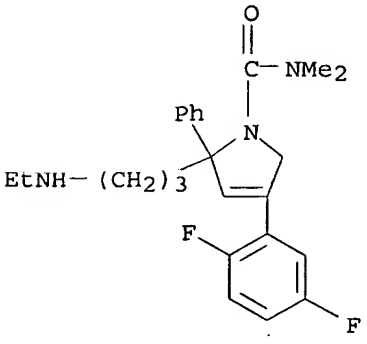
RN 686320-98-9 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2,5-dihydro-2-(methoxymethyl)-N-methyl-N-(1-methyl-4-piperidinyl)-2-phenyl- (9CI) (CA INDEX NAME)



RN 686321-01-7 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 2-[3-[(2,2-difluoroethyl)methylamino]propyl]-4-(2,5-difluorophenyl)-2,5-dihydro-N,N-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



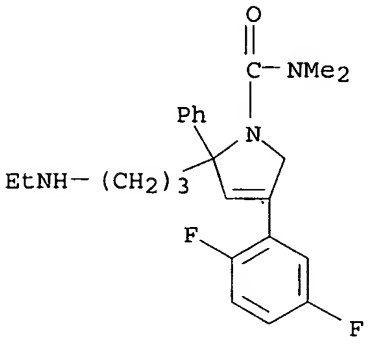
RN 686321-02-8 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2-[3-(ethylamino)propyl]-2,5-dihydro-N,N-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



RN 686321-03-9 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2-[3-(ethylamino)propyl]-2,5-dihydro-N,N-dimethyl-2-phenyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

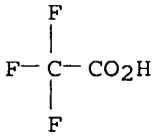
CM 1

CRN 686321-02-8
CMF C24 H29 F2 N3 O

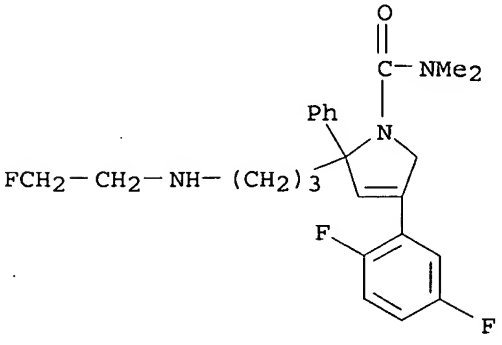


CM 2

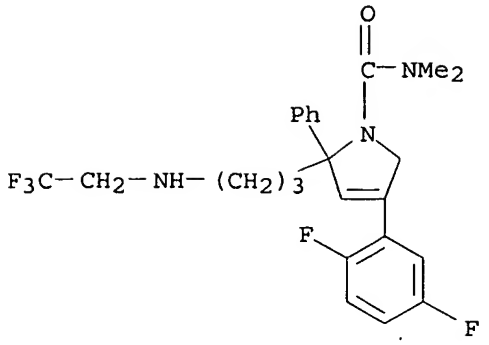
CRN 76-05-1
CMF C2 H F3 O2



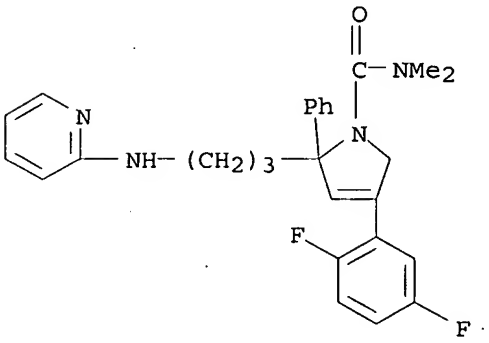
RN 686321-04-0 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2-[3-[(2-fluoroethyl)amino]propyl]-2,5-dihydro-N,N-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



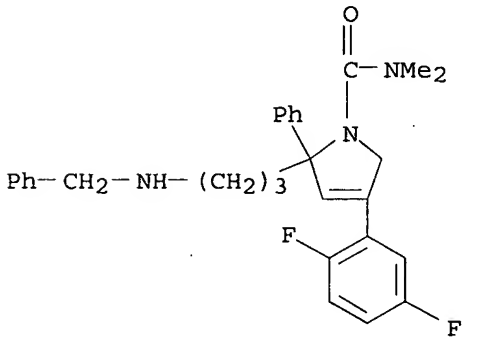
RN 686321-05-1 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2,5-dihydro-N,N-dimethyl-2-phenyl-2-[3-[(2,2,2-trifluoroethyl)amino]propyl]- (9CI) (CA INDEX NAME)



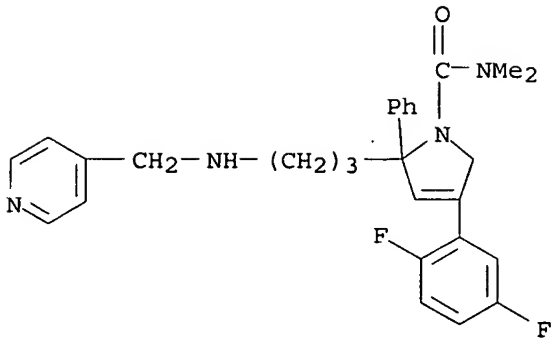
RN 686321-06-2 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2,5-dihydro-N,N-dimethyl-2-phenyl-2-[3-(2-pyridinylamino)propyl]- (9CI) (CA INDEX NAME)



RN 686321-07-3 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2,5-dihydro-N,N-dimethyl-2-phenyl-2-[3-[(phenylmethyl)amino]propyl]- (9CI) (CA INDEX NAME)



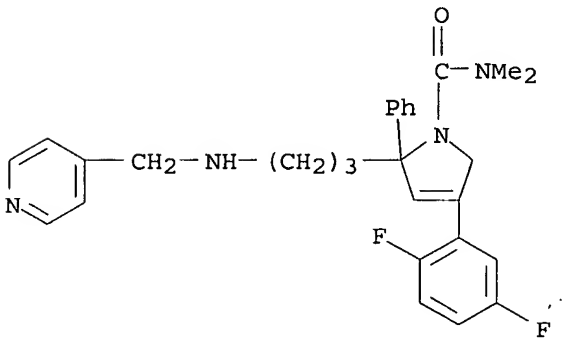
RN 686321-08-4 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2,5-dihydro-N,N-dimethyl-2-phenyl-2-[3-[(4-pyridinylmethyl)amino]propyl]- (9CI) (CA INDEX NAME)



RN 686321-09-5 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2,5-dihydro-N,N-dimethyl-2-phenyl-2-[3-[(4-pyridinylmethyl)amino]propyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

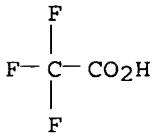
CM 1

CRN 686321-08-4
CMF C28 H30 F2 N4 O

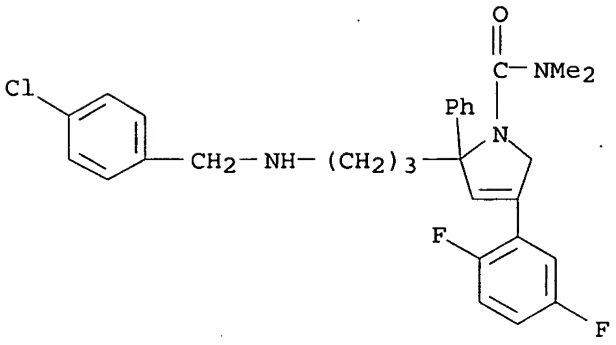


CM 2

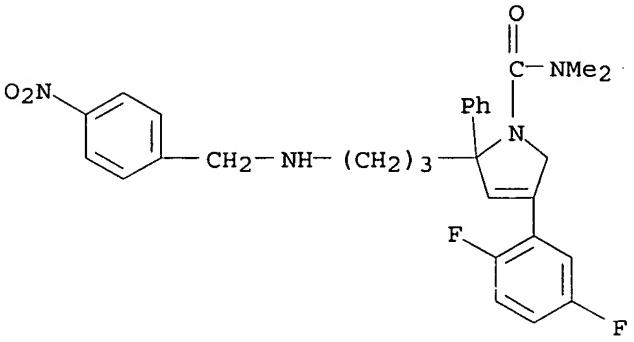
CRN 76-05-1
CMF C2 H F3 O2



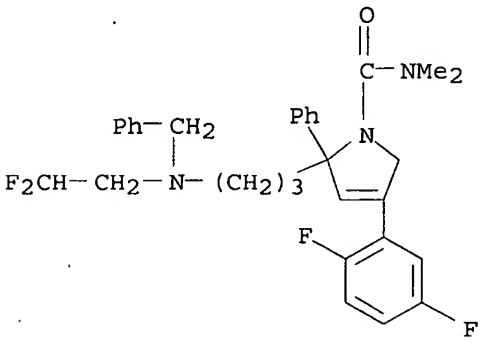
RN 686321-10-8 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 2-[3-[[(4-chlorophenyl)methyl]amino]propyl]-4-(2,5-difluorophenyl)-2,5-dihydro-N,N-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



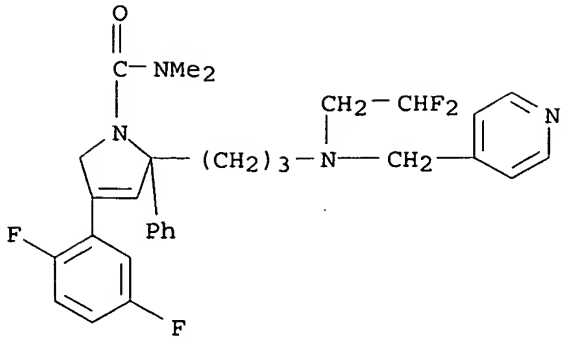
RN 686321-11-9 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2,5-dihydro-N,N-dimethyl-2-[3-[[(4-nitrophenyl)methyl]amino]propyl]-2-phenyl- (9CI) (CA INDEX NAME)



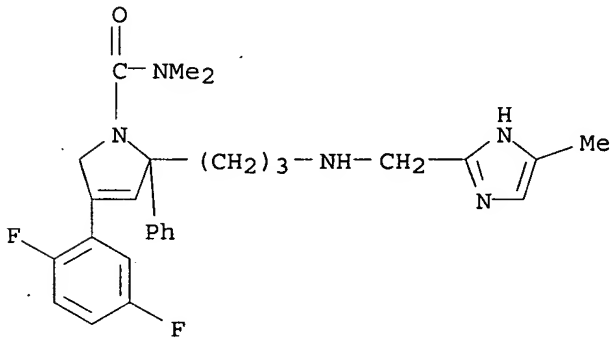
RN 686321-12-0 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 2-[3-[(2,2-difluoroethyl)(phenylmethyl)amino]propyl]-4-(2,5-difluorophenyl)-2,5-dihydro-N,N-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



RN 686321-13-1 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 2-[3-[(2,2-difluoroethyl)(4-pyridinylmethyl)amino]propyl]-4-(2,5-difluorophenyl)-2,5-dihydro-N,N-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



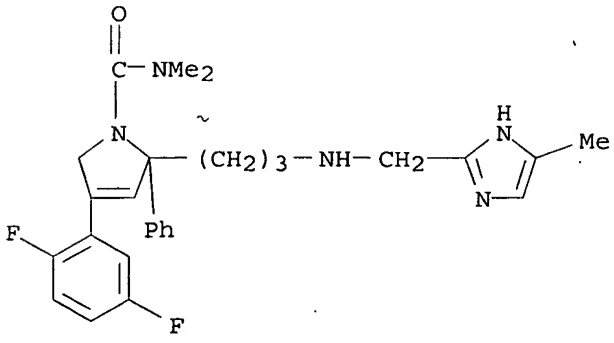
RN 686321-14-2 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2,5-dihydro-N,N-dimethyl-2-[3-[[(4-methyl-1H-imidazol-2-yl)methyl]amino]propyl]-2-phenyl- (9CI) (CA INDEX NAME)



RN 686321-15-3 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2,5-dihydro-N,N-dimethyl-2-[3-[[(4-methyl-1H-imidazol-2-yl)methyl]amino]propyl]-2-phenyl-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

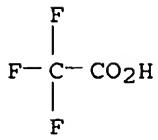
CM 1

CRN 686321-14-2
CMF C27 H31 F2 N5 O

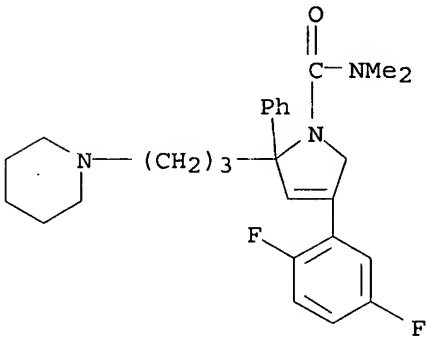


CM 2

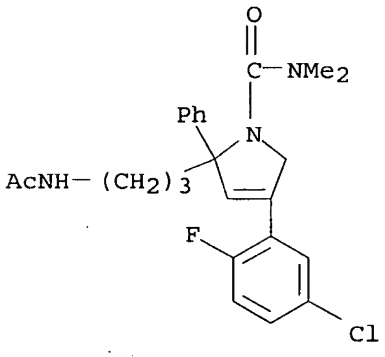
CRN 76-05-1
CMF C2 H F3 O2



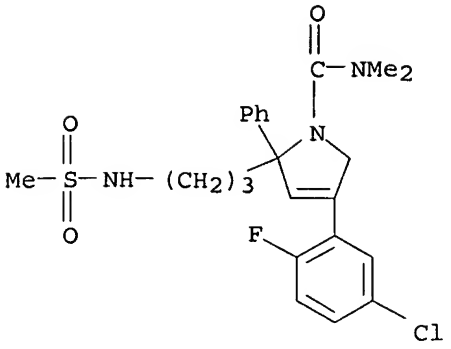
RN 686321-16-4 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2,5-dihydro-N,N-dimethyl-2-phenyl-2-[3-(1-piperidinyl)propyl]- (9CI) (CA INDEX NAME)



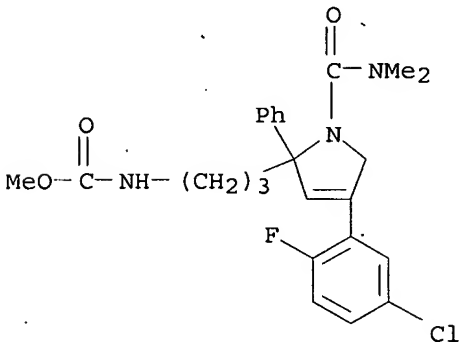
RN 686321-18-6 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 2-[3-(acetylamino)propyl]-4-(5-chloro-2-fluorophenyl)-2,5-dihydro-N,N-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



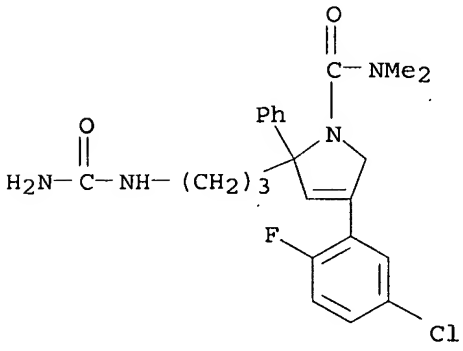
RN 686321-19-7 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(5-chloro-2-fluorophenyl)-2,5-dihydro-N,N-dimethyl-2-[3-[(methylsulfonyl)amino]propyl]-2-phenyl- (9CI) (CA INDEX NAME)



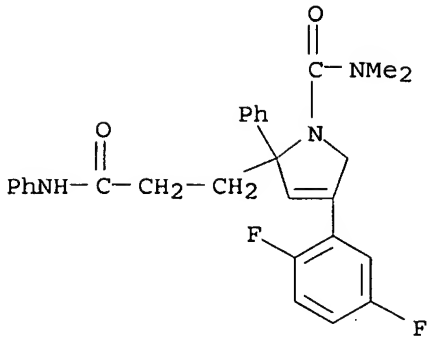
RN 686321-20-0 CAPLUS
CN Carbamic acid, [3-[4-(5-chloro-2-fluorophenyl)-1-[(dimethylamino)carbonyl]-2,5-dihydro-2-phenyl-1H-pyrrol-2-yl]propyl]-, methyl ester (9CI) (CA INDEX NAME)



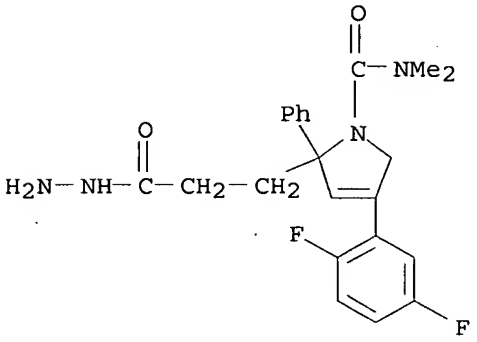
RN 686321-21-1 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 2-[3-[(aminocarbonyl)amino]propyl]-4-(5-chloro-2-fluorophenyl)-2,5-dihydro-N,N-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



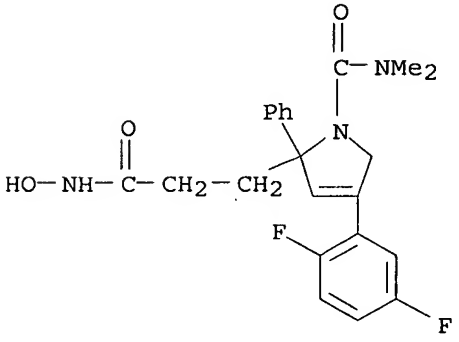
RN 686321-23-3 CAPLUS
CN 1H-Pyrrole-2-propanamide, 4-(2,5-difluorophenyl)-1-[(dimethylamino)carbonyl]-2,5-dihydro-N,2-diphenyl- (9CI) (CA INDEX NAME)



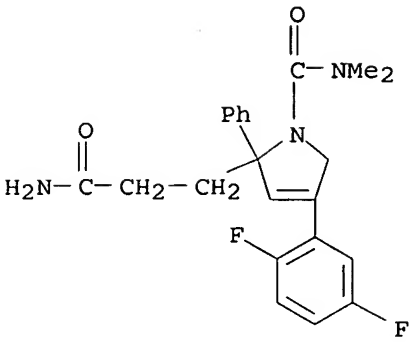
RN 686321-24-4 CAPLUS
CN 1H-Pyrrole-2-propanoic acid, 4-(2,5-difluorophenyl)-1-[(dimethylamino)carbonyl]-2,5-dihydro-2-phenyl-, hydrazide (9CI) (CA INDEX NAME)



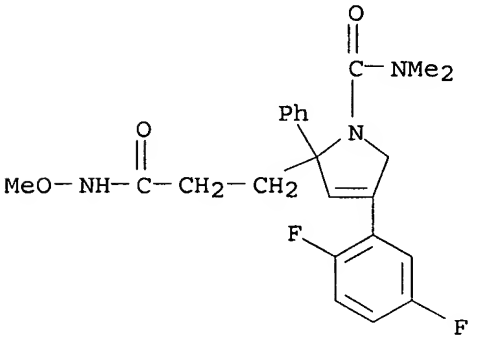
RN 686321-25-5 CAPLUS
CN 1H-Pyrrole-2-propanamide, 4-(2,5-difluorophenyl)-1-[(dimethylamino)carbonyl]-2,5-dihydro-N-hydroxy-2-phenyl- (9CI) (CA INDEX NAME)



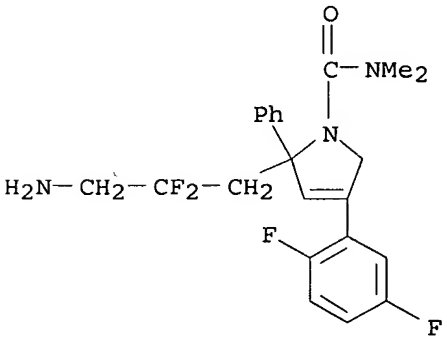
RN 686321-26-6 CAPLUS
CN 1H-Pyrrole-2-propanamide, 4-(2,5-difluorophenyl)-1-[(dimethylamino)carbonyl]-2,5-dihydro-2-phenyl- (9CI) (CA INDEX NAME)



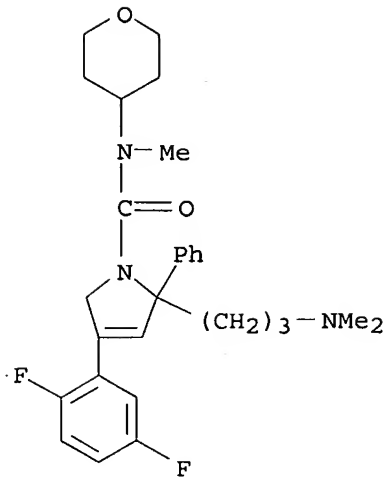
RN 686321-27-7 CAPLUS
CN 1H-Pyrrole-2-propanamide, 4-(2,5-difluorophenyl)-1-[(dimethylamino)carbonyl]-2,5-dihydro-N-methoxy-2-phenyl- (9CI) (CA INDEX NAME)



RN 686321-29-9 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 2-(3-amino-2,2-difluoropropyl)-4-(2,5-difluorophenyl)-2,5-dihydro-N,N-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



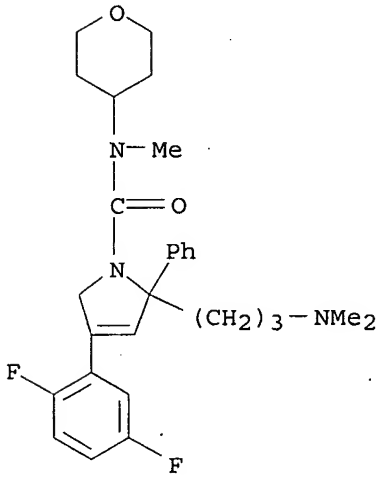
RN 686321-33-5 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2-[3-(dimethylamino)propyl]-2,5-dihydro-N-methyl-2-phenyl-N-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)



RN 686321-34-6 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2-[3-(dimethylamino)propyl]-2,5-dihydro-N-methyl-2-phenyl-N-(tetrahydro-2H-pyran-4-yl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

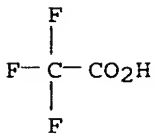
CM 1

CRN 686321-33-5
CMF C28 H35 F2 N3 O2



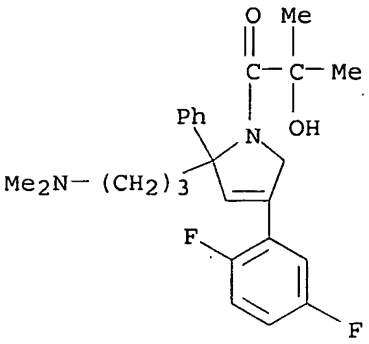
CM 2

CRN 76-05-1
CMF C2 H F3 O2



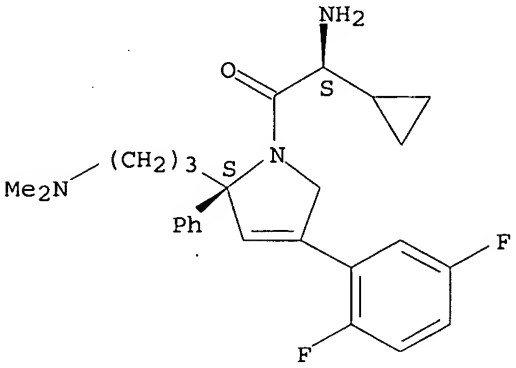
RN 686321-35-7 CAPLUS

CN 1H-Pyrrole, 4-(2,5-difluorophenyl)-2-[3-(dimethylamino)propyl]-2,5-dihydro-1-(2-hydroxy-2-methyl-1-oxopropyl)-2-phenyl- (9CI) (CA INDEX NAME)



RN 686321-36-8 CAPLUS
CN 1H-Pyrrole-2-propanamine, 1-[(2S)-aminocyclopropylacetyl]-4-(2,5-difluorophenyl)-2,5-dihydro-N,N-dimethyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

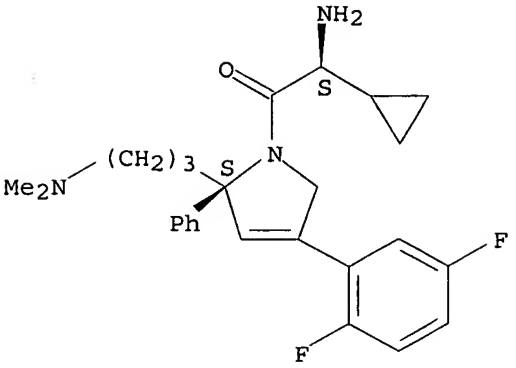


RN 686321-37-9 CAPLUS
CN 1H-Pyrrole-2-propanamine, 1-[(2S)-aminocyclopropylacetyl]-4-(2,5-difluorophenyl)-2,5-dihydro-N,N-dimethyl-2-phenyl-, (2S)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

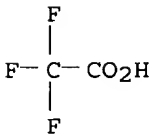
CRN 686321-36-8
CMF C26 H31 F2 N3 O

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

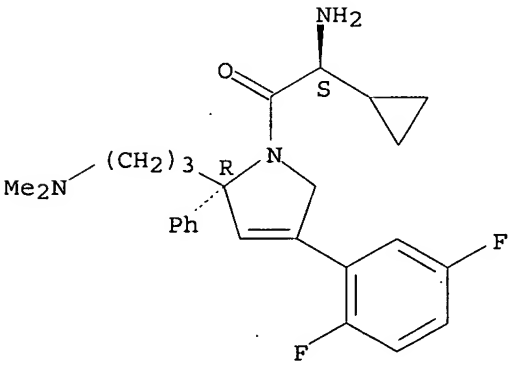


RN 686321-39-1 CAPLUS
CN 1H-Pyrrole-2-propanamine, 1-[(2S)-aminocyclopropylacetyl]-4-(2,5-difluorophenyl)-2,5-dihydro-N,N-dimethyl-2-phenyl-, (2R)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

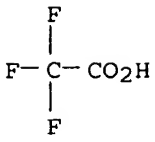
CRN 686321-38-0
CMF C26 H31 F2 N3 O

Absolute stereochemistry.

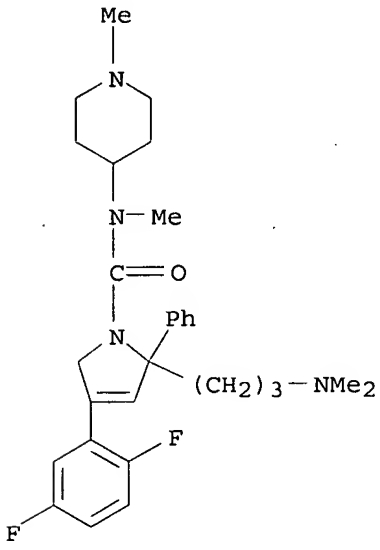


CM 2

CRN 76-05-1
CMF C2 H F3 O2



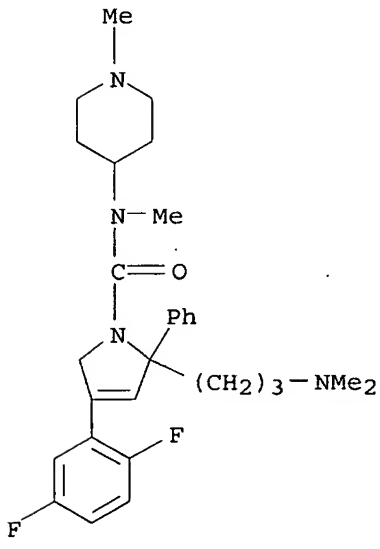
RN 686321-41-5 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2-[3-(dimethylamino)propyl]-2,5-dihydro-N-methyl-N-(1-methyl-4-piperidinyl)-2-phenyl- (9CI) (CA INDEX NAME)



RN 686321-42-6 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2-[3-(dimethylamino)propyl]-2,5-dihydro-N-methyl-N-(1-methyl-4-piperidinyl)-2-phenyl-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

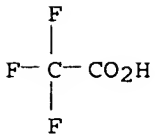
CM 1

CRN 686321-41-5
CMF C29 H38 F2 N4 O



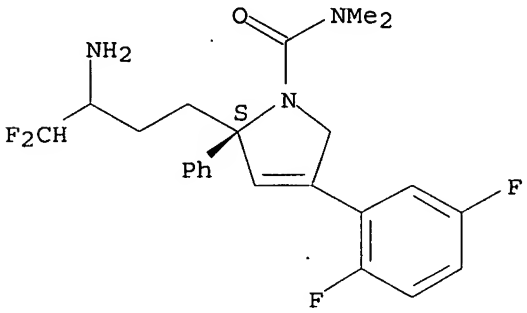
CM 2

CRN 76-05-1
CMF C2 H F3 O2

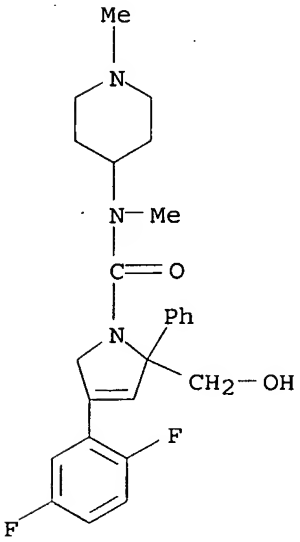


RN 686321-46-0 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 2-(3-amino-4,4-difluorobutyl)-4-(2,5-difluorophenyl)-2,5-dihydro-N,N-dimethyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

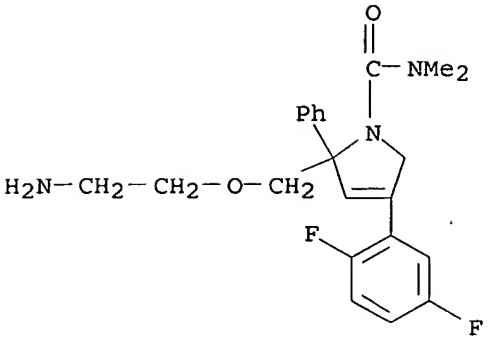
Absolute stereochemistry.



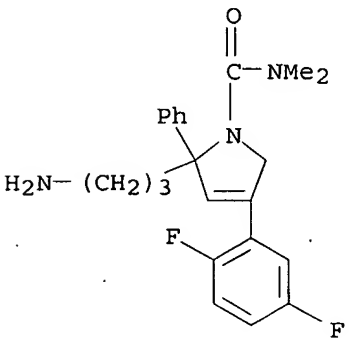
RN 686321-47-1 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2,5-dihydro-2-(hydroxymethyl)-N-methyl-N-(1-methyl-4-piperidinyl)-2-phenyl- (9CI) (CA INDEX NAME)



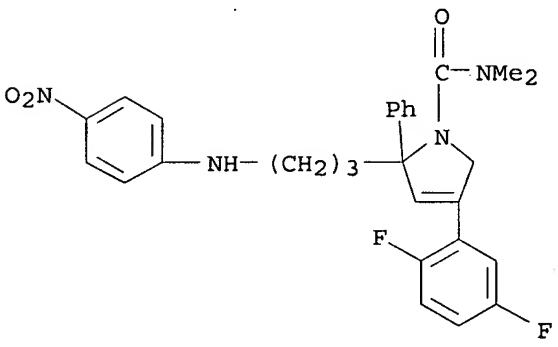
RN 686321-48-2 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 2-[(2-aminoethoxy)methyl]-4-(2,5-difluorophenyl)-2,5-dihydro-N,N-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



RN 686321-49-3 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 2-(3-aminopropyl)-4-(2,5-difluorophenyl)-2,5-dihydro-N,N-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)

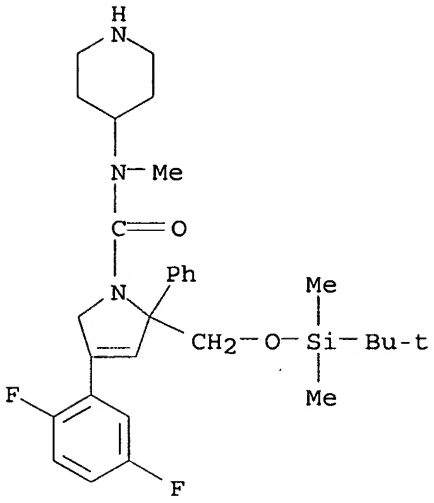


RN 686321-50-6 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2,5-dihydro-N,N-dimethyl-2-[3-[(4-nitrophenyl)amino]propyl]-2-phenyl- (9CI) (CA INDEX NAME)

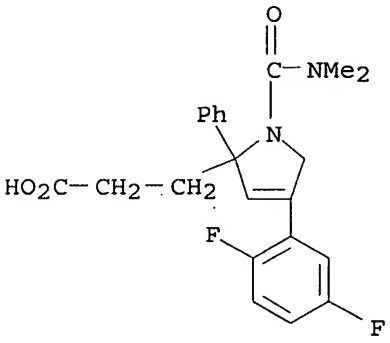


IT 686320-61-6P, 2-[[(tert-Butyldimethylsilyl)oxy]methyl]-4-(2,5-difluorophenyl)-N-methyl-2-phenyl-N-piperidin-4-yl-2,5-dihydro-1H-pyrrole-1-carboxamide 686321-22-2P, 3-[4-(2,5-Difluorophenyl)-1-[(dimethylamino)carbonyl]-2-phenyl-2,5-dihydro-1H-pyrrol-2-yl]propanoic acid
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(intermediate, KSP inhibitor; prepn. of dihydropyrroles as KSP inhibitors for treating proliferative diseases)

RN 686320-61-6 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2,5-dihydro-N-methyl-2-phenyl-N-4-piperidinyl- (9CI) (CA INDEX NAME)

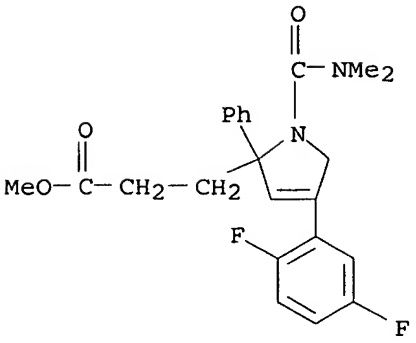


RN 686321-22-2 CAPLUS
CN 1H-Pyrrole-2-propanoic acid, 4-(2,5-difluorophenyl)-1-[(dimethylamino)carbonyl]-2,5-dihydro-2-phenyl- (9CI) (CA INDEX NAME)

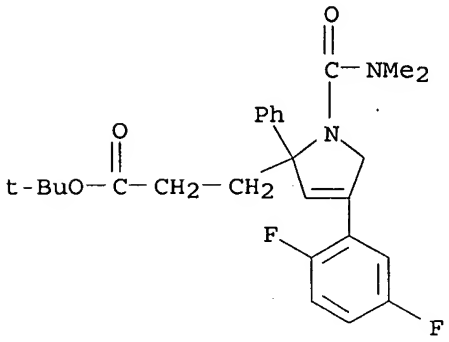


IT 686320-99-0P, Methyl 3-[4-(2,5-difluorophenyl)-1-[(dimethylamino)carbonyl]-2-phenyl-2,5-dihydro-1H-pyrrol-2-yl]propanoate
686321-28-8P, tert-Butyl 3-[4-(2,5-difluorophenyl)-1-[(dimethylamino)carbonyl]-2-phenyl-2,5-dihydro-1H-pyrrol-2-yl]propanoate
686321-45-9P, (2S)-2-(4,4-Difluoro-3-oxobutyl)-4-(2,5-difluorophenyl)-N,N-dimethyl-2-phenyl-2,5-dihydro-1H-pyrrole-1-carboxamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of dihydropyrroles as KSP inhibitors for treating proliferative diseases)

RN 686320-99-0 CAPLUS
CN 1H-Pyrrole-2-propanoic acid, 4-(2,5-difluorophenyl)-1-[(dimethylamino)carbonyl]-2,5-dihydro-2-phenyl-, methyl ester (9CI) (CA INDEX NAME)

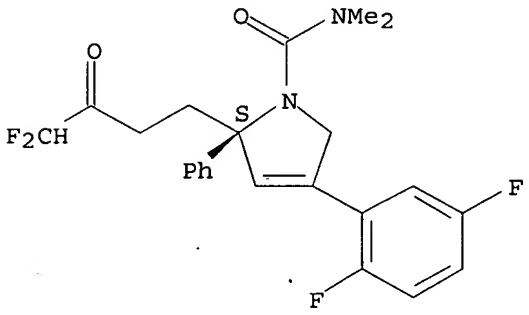


RN 686321-28-8 CAPLUS
CN 1H-Pyrrole-2-propanoic acid, 4-(2,5-difluorophenyl)-1-[(dimethylamino)carbonyl]-2,5-dihydro-2-phenyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 686321-45-9 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 2-(4,4-difluoro-3-oxobutyl)-4-(2,5-difluorophenyl)-2,5-dihydro-N,N-dimethyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:1006780 CAPLUS
DOCUMENT NUMBER: 140:77020
TITLE: Preparation of pyrrole derivatives as mitotic kinesin inhibitors
INVENTOR(S): Arrington, Kenneth L.; Coleman, Paul J.; Cox, Christopher D.; Fraley, Mark E.; Garbaccio, Robert M.; Hartman, George D.; Hoffman, William F.; Tasber, Edward S.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 401 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
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PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003105855	A1	20031224	WO 2003-US18482		20030612
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
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AU 2003245453	A1	20031231	AU 2003-245453		20030612
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US 2006105997	A1	20060518	US 2004-517559		20041208
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			US 2002-403830P	P	20020815
			US 2002-426940P	P	20021115
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OTHER SOURCE(S): MARPAT 140:77020
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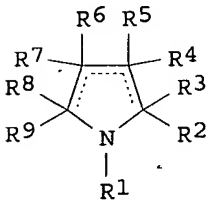

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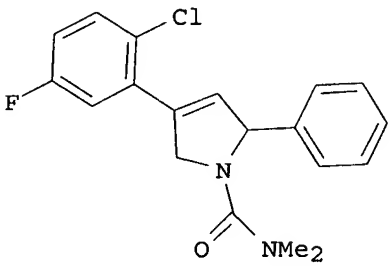


II

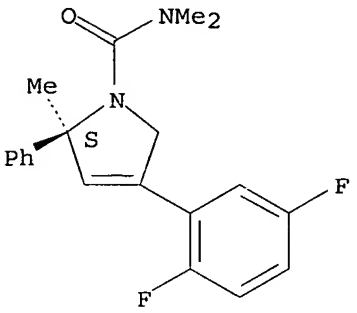
AB The invention relates to dihydropyrrole compds. that are useful for treating cellular proliferative diseases and disorders assocd. with KSP kinesin activity. The invention also relates to compns. which comprise these compds. and methods of using them to treat cancer in mammals. Compds. I [R1 is (C1-C6-alkylene)n-X-R, (n is 0 or 1; X is CO, SO2, NH, PO, etc.; R is alkyl, aryl, amino group, etc.), aryl, heterocyclyl, or alkyl; R2, R6 are aryl, aralkyl, cycloalkyl, or heterocyclyl; R3-R5, R7-R9 are H, alk(en)(yn)yl, aryl, aralkyl, heterocyclyl, etc.] (including amino acid derivs.) are claimed. For example, a detailed synthesis for the prepn. of II is outlined, which includes reaction of 2 chloro-5-fluorobenzenediazonium tetrafluoroborate with Boc-protected

2,5-dihydro-1H-pyrrole-1-carboxylate.

IT 637777-24-3P 637777-25-4P 637777-35-6P
637777-37-8P 639075-48-2P 639075-49-3P
639075-50-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrrole derivs. as mitotic kinesin inhibitors)

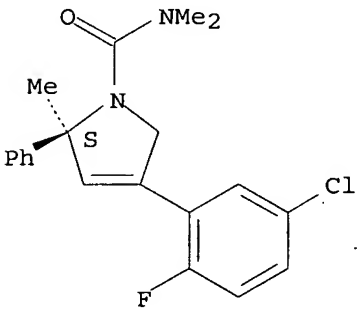
RN 637777-24-3 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(2,5-difluorophenyl)-2,5-dihydro-N,N,2-trimethyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



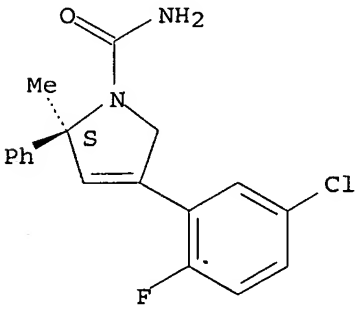
RN 637777-25-4 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(5-chloro-2-fluorophenyl)-2,5-dihydro-N,N,2-trimethyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



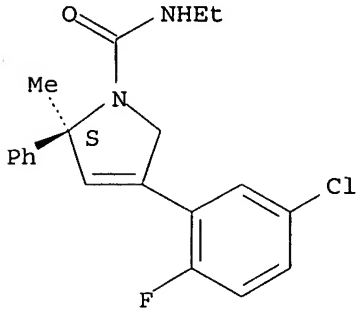
RN 637777-35-6 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(5-chloro-2-fluorophenyl)-2,5-dihydro-2-methyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

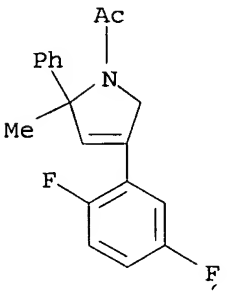


RN 637777-37-8 CAPLUS
CN 1H-Pyrrole-1-carboxamide, 4-(5-chloro-2-fluorophenyl)-N-ethyl-2,5-dihydro-2-methyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

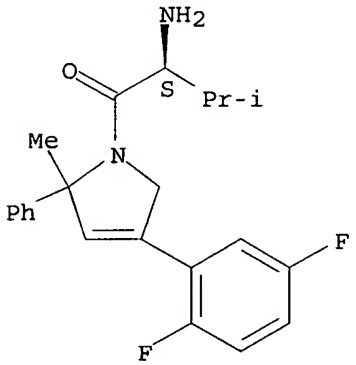


RN 639075-48-2 CAPLUS
CN 1H-Pyrrole, 1-acetyl-4-(2,5-difluorophenyl)-2,5-dihydro-2-methyl-2-phenyl- (9CI) (CA INDEX NAME)



RN 639075-49-3 CAPLUS
CN 1H-Pyrrole, 1-[(2S)-2-amino-3-methyl-1-oxobutyl]-4-(2,5-difluorophenyl)-2,5-dihydro-2-methyl-2-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

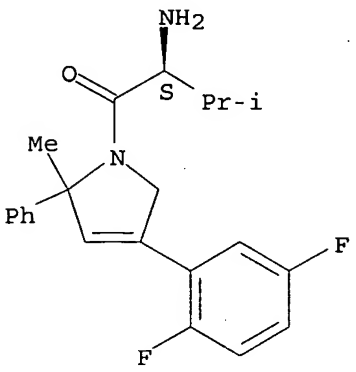


RN 639075-50-6 CAPLUS
CN 1H-Pyrrole, 1-[(2S)-2-amino-3-methyl-1-oxobutyl]-4-(2,5-difluorophenyl)-2,5-dihydro-2-methyl-2-phenyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

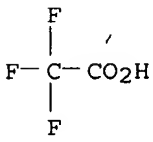
CRN 639075-49-3
CMF C22 H24 F2 N2 O

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	12.42	185.18

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-1.56	-1.56

FILE 'REGISTRY' ENTERED AT 10:12:04 ON 16 JAN 2007

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 15 JAN 2007 HIGHEST RN 917470-98-5
DICTIONARY FILE UPDATES: 15 JAN 2007 HIGHEST RN 917470-98-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

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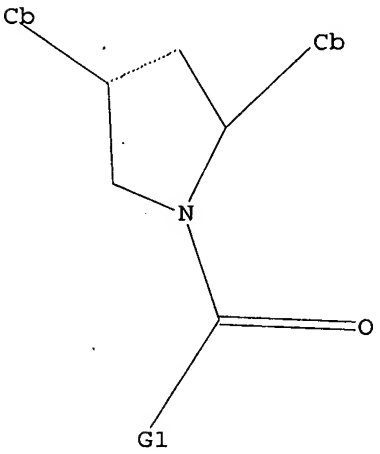
Uploading C:\Documents and Settings\ychu\Desktop\Case\10531495\10531495BB-1.str

L5 STRUCTURE UPLOADED

=> d
L5 HAS NO ANSWERS
L5 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

=> s l5
SAMPLE SEARCH INITIATED 10:12:28 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 59490 TO ITERATE

3.4% PROCESSED 2000 ITERATIONS 1 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1175264 TO 1204336
PROJECTED ANSWERS: 267 TO 921

L6 1 SEA SSS SAM L5

=> s l6 full
FULL SEARCH INITIATED 10:13:03 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1183838 TO ITERATE

81.3% PROCESSED 962154 ITERATIONS 1003 ANSWERS

84.5% PROCESSED 1000000 ITERATIONS 1005 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.22

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1183838 TO 1183838
PROJECTED ANSWERS: 1086 TO 1292

L7 1005 SEA SSS FUL L5

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 173.00 358.18

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE ENTRY TOTAL SESSION
CA SUBSCRIBER PRICE 0.00 -1.56

FILE 'CAPLUS' ENTERED AT 10:13:36 ON 16 JAN 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 16 Jan 2007 VOL 146 ISS 4
FILE LAST UPDATED: 15 Jan 2007 (20070115/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l7
L8 23 L7

=> d ibib abs 10-23

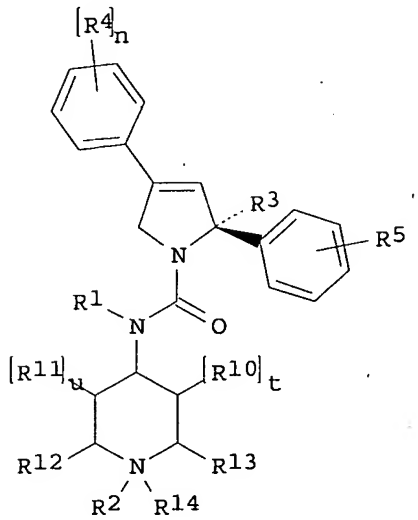
L8 ANSWER 10 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:182653 CAPLUS
DOCUMENT NUMBER: 142:280064
TITLE: Preparation of dihydropyrrolecarboxamides as mitotic kinesin inhibitors for treating cancer
INVENTOR(S): Coleman, Paul J.; Cox, Christopher D.; Garbaccio, Robert M.; Hartman, George D.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 187 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2005019206	A1	20050303	WO 2004-US26012		20040811
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
US 2005043357	A1	20050224	US 2004-915743		20040811
AU 2004266232	A1	20050303	AU 2004-266232		20040811
CA 2534065	A1	20050303	CA 2004-2534065		20040811
EP 1664026	A1	20060607	EP 2004-780791		20040811
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR					
CN 1839128	A	20060927	CN 2004-80023309		20040811
BR 2004013580	A	20061017	BR 2004-13580		20040811
US 2006234984	A1	20061019	US 2006-567676		20060209
NO 2006001194	A	20060505	NO 2006-1194		20060314
PRIORITY APPLN. INFO.:			US 2003-495637P	P	20030815
			US 2004-563580P	P	20040419
			US 2003-512680P	P	20031020
			US 2004-563586P	P	20040419
			WO 2004-US25980	W	20040811
			WO 2004-US26012	W	20040811

OTHER SOURCE(S): MARPAT 142:280064

GI



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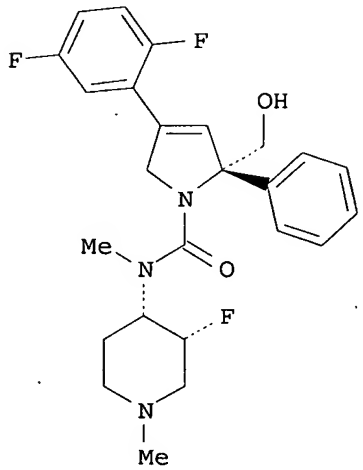

II

AB The present invention relates to dihydropyrrole compds. I [R1, R2 = H, alkyl, aryl, etc.; R3 = H, alkyl, CH2OH, etc.; R4 = CO2H, halo, CN, etc.; R5 = H, halo, CN, etc.; R10, R11 = F, CH2F; R12, R13 = H, CH2F; R14 = absent, oxo; n = 0-3; t = 0-2; u = 0-1] that are useful for treating cellular proliferative diseases, for treating disorders assocd. with KSP kinesin activity, and for inhibiting KSP kinesin. E.g., a multi-step synthesis of II, which showed an IC50 of .ltoreq. 50 .mu.M in kinesin ATPase in vitro assay, was given. The invention is also related to compns. which comprise these compds. I, and methods of using them to treat cancer in mammals.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 11 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:177831 CAPLUS
DOCUMENT NUMBER: 142:280071
TITLE: Preparation of dihydropyrrolecarboxamides as mitotic kinesin inhibitors for treating cancer
INVENTOR(S): Coleman, Paul J.; Cox, Christopher D.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 177 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005018547	A2	20050303	WO 2004-US25964	20040811
WO 2005018547	A3	20050915		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004266629	A1	20050303	AU 2004-266629	20040811
CA 2533889	A1	20050303	CA 2004-2533889	20040811
EP 1656146	A2	20060517	EP 2004-780749	20040811
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1835756	A	20060920	CN 2004-80023307	20040811
PRIORITY APPLN. INFO.:			US 2003-495735P	P 20030815
			WO 2004-US25964	W 20040811

OTHER SOURCE(S): MARPAT 142:280071
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to dihydropyrrole compds. I [R1, R2 = H, alkyl, aryl, etc.; R3 = H, alkyl, CH2OH, etc.; R4 = CO2H, halo, CN, etc.; R5 = H, halo, CN, etc.; R10 = H, F; R11, R12 = F, CH2F; R13, R14 = H, CH2F; R15 = absent, oxo; n = 0-3; t, u = 0-2] that are useful for treating cellular proliferative diseases, for treating disorders assocd. with KSP kinesin activity, and for inhibiting KSP kinesin. E.g., a multi-step synthesis of a mixt. of II and III, which showed an IC50 of .ltoreq. 50 .mu.M in kinesin ATPase in vitro assay, was given. Over 260 compds. I were claimed. The invention is also related to compns. which comprise these compds. I, and methods of using them to treat cancer in mammals.

L8 ANSWER 12 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:158826 CAPLUS
DOCUMENT NUMBER: 142:261392
TITLE: Preparation of pyrrole derivatives as mitotic kinesin inhibitors

INVENTOR(S): Coleman, Paul J.; Cox, Christopher D.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005017190	A2	20050224	WO 2004-US26242		20040811
WO 2005017190	A3	20051215			
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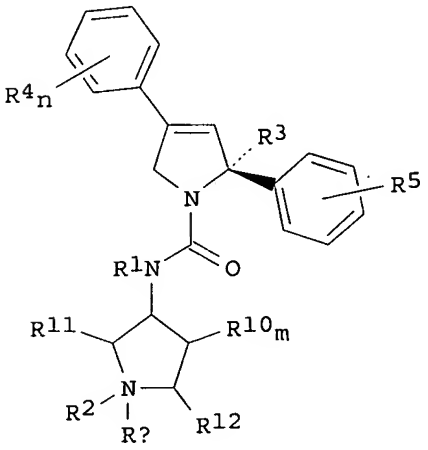
AU 2004264533	A1	20050224	AU 2004-264533		20040811
CA 2534729	A1	20050224	CA 2004-2534729		20040811
EP 1656133	A2	20060517	EP 2004-780997		20040811
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR					
CN 1835746	A	20060920	CN 2004-80023308		20040811
US 2006287302	A1	20061221	US 2006-568000		20060210
PRIORITY APPLN. INFO.:			US 2003-495466P	P	20030815
			WO 2004-US26242	W	20040811

OTHER SOURCE(S): MARPAT 142:261392
GI



I

AB Title compds. represented by the formula I [wherein R1, R2 = independently H, (un)substituted (cyclo)alkyl, aryl, heterocyclyl; R3 = H, alkyl(hydroxy), alkenyloxyalkyl, etc.; R4 = independently (carbonyl)(oxy)alkyl, carboxy, OH, etc.; R5 = H, halo, CN, etc.; R10 = F or CH2F; R11, R12 = independently H or CH2F; Rx = absent or oxo; m = 0-2; n = 0-3; and pharmaceutically acceptable salts or stereoisomers thereof] were prepd. as mitotic kinesin inhibitors (no data). For example, I (R1 = R2 = Me, R3 = CH2OH, R4 = 2,4-F2, R5 = R10 = R12 = H, R11 = F, Rx =

absent, n = 0) was given in a multi-step synthesis starting from .alpha.-allyl-.alpha.-phenylglycine Et ester. The title compds. and their pharmaceutical compns. are useful as mitotic kinesin inhibitors, esp. KSP kinesin inhibitors, for the treatment of cellular proliferative diseases and disorders assocd. with KSP kinesin activity, such as cancer in mammals (no data).

L8 ANSWER 13 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:140806 CAPLUS
DOCUMENT NUMBER: 142:240324
TITLE: A preparation of pyrrolecarboxamide derivatives, useful as mitotic kinesin inhibitors
INVENTOR(S): Coleman, Paul J.; Cox, Christopher D.; Garbaccio, Robert M.; Hartman, George D.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 52 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2005038074	A1	20050217	US 2004-916096		20040811
WO 2005019205	A1	20050303	WO 2004-US25980		20040811
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
BR 2004013580	A	20061017	BR 2004-13580		20040811
NO 2006001194	A	20060505	NO 2006-1194		20060314
PRIORITY APPLN. INFO.:			US 2003-495637P	P	20030815
			US 2003-512680P	P	20031020
			US 2004-563586P	P	20040419
			WO 2004-US25980	W	20040811

OTHER SOURCE(S): CASREACT 142:240324; MARPAT 142:240324
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to a prepn. of pyrrolecarboxamide derivs. of formula I [wherein: R1 is H, alkyl, aryl, or heterocyclyl, etc.; R2 is 4-piperidinyl deriv.; R3 is H, alkyl, alkdiyl-OH, alkdiyl-O-alkyl, or alk(en/yn)diyl-C(O)-NH2, etc.; R4 is CO2H, halogen, CN, or OH, etc.; R5 is H, CO2H, CN, halogen, or OP(:O)(OH)2, etc.], useful for treating cellular proliferative diseases, for treating disorders assocd. with KSP kinesin activity, and for inhibiting KSP kinesin. The invention is also related to compns. which comprise these compds., and methods of using them to treat cancer in mammals. For instance, pyrrolecarboxamide deriv. II (kinesin ATPase in vitro assay: IC50 < 50 .mu.M) was prepd. via amidation of carbamoyl chloride III by amine IV (conversion of III to the product was >98%).

L8 ANSWER 14 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:1156433 CAPLUS
DOCUMENT NUMBER: 142:69166
TITLE: Bicyclic dihydropyrrole compound mitotic kinesin inhibitors, and therapeutic use
INVENTOR(S): Coleman, Paul J.; Neilson, Lou Anne
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004112699	A2	20041229	WO 2004-US18137		20040608
WO 2004112699	A3	20050414			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
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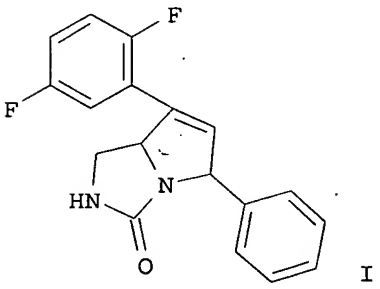
AU 2004249138	A1	20041229	AU 2004-249138		20040608
CA 2527533	A1	20041229	CA 2004-2527533		20040608
EP 1635641	A2	20060322	EP 2004-776354		20040608
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK					
CN 1805686	A	20060719	CN 2004-80016445		20040608
US 2006142278	A1	20060629	US 2005-559855		20051207
PRIORITY APPLN. INFO.:			US 2003-477975P	P	20030612
			WO 2004-US18137	W	20040608

OTHER SOURCE(S): MARPAT 142:69166
GI



I

AB The invention discloses bicyclic dihydropyrrole compds. that are useful for treating cellular proliferative diseases, for treating disorders assocd. with KSP kinesin activity, and for inhibiting KSP kinesin. The invention also discloses compns. which comprise these compds., and methods of using them to treat cancer in mammals. Prepn. of compds., e.g. I, is described.

L8 ANSWER 15 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:1127483 CAPLUS

DOCUMENT NUMBER: 142:74446
TITLE: A preparation of pyrrole derivatives, useful as mitotic kinesin inhibitors
INVENTOR(S): Fraley, Mark E.; Garbaccio, Robert M.; Hartman, George D.; Hoffman, William F.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004111193	A2	20041223	WO 2004-US18065	20040608
WO 2004111193	A3	20050324		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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AU 2004248160	A1	20041223	AU 2004-248160	20040608
CA 2527582	A1	20041223	CA 2004-2527582	20040608
EP 1636182	A2	20060322	EP 2004-754621	20040608
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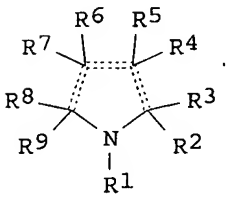
CN 1805928	A	20060719	CN 2004-80016354	20040608
US 2006135594	A1	20060622	US 2005-559857	20051207
PRIORITY APPLN. INFO.:			US 2003-477995P P	20030612
			WO 2004-US18065 W	20040608

OTHER SOURCE(S): MARPAT 142:74446
GI



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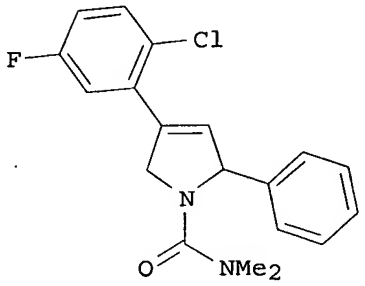

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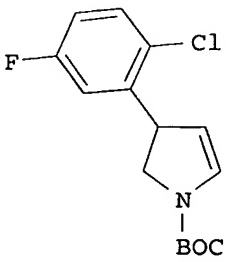


III

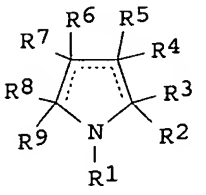
AB The invention relates to a prepn. of pyrrole derivs. of formula I [wherein: R1 is (alkylene)0-1C(O)-alk(en/yn)yl, (alkylene)0-1C(S)-alk(en/yn)yl, or (alkylene)0-1-SO2-alkyl, etc.; R2 and R6 are independently selected from aryl, cycloalkyl, heterocyclyl, or aralkyl; R3, R4, R5, R7, R8, and R9 are independently selected from H, alk(en/yn)yl, aryl, or heterocyclyl, etc.], useful as mitotic kinesin inhibitors (no biol. data). The invention compds. are useful for the treatment of proliferative diseases such as cancer, hyperplasia, restenosis, and immune disorders. For instance, pyrrolecarboxamide deriv. II was prepd. via phenylation of N-BOC-pyrrol deriv. III by PhN2+.bul.BF4-, N-deprotection, and N-carboxamidation by ClC(O)NMe2 (scheme 1).

L8 ANSWER 16 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:857324 CAPLUS
DOCUMENT NUMBER: 141:332040
TITLE: Preparation of dihydropyrrole derivatives as mitotic kinesin inhibitors
INVENTOR(S): Slaughter, Donald E.; Subramanian, Raju; Fraley, Mark E.; Prueksaritanont, Thomayant; Shu, Hong
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 121 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087050	A2	20041014	WO 2004-US9027	20040324
WO 2004087050	A3	20050324		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-458494P P 20030328
OTHER SOURCE(S): MARPAT 141:332040
GI



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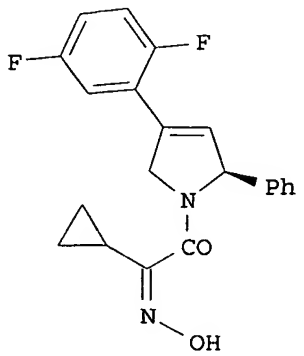


II

AB Dihydropyrrole compds. of formula I [R1 = COCRaNOH, COCRaNO2, etc.; Ra, R2, R6 = aryl, aralkyl, cycloalkyl, heterocyclyl; R3-R5, R7-R9 = H, alkyl, aryl, aralkyl, cycloalkyl, heterocyclyl, etc.] are prepd. which are useful for treating cellular proliferative diseases, for treating disorders assocd. with KSP kinesin activity, and for inhibiting KSP kinesin. The invention also related to compns. which comprise these compds., and methods of using them to treat cancer in mammals. Thus, II was prepd., and had IC50 .ltoreq. 50 .mu.M against kinesin motor domain.

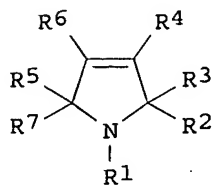
L8 ANSWER 17 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:368866 CAPLUS
DOCUMENT NUMBER: 140:391193
TITLE: Preparation of dihydropyrroles as mitotic kinesin inhibitors for treating cellular proliferative diseases
INVENTOR(S): Breslin, Michael J.; Coleman, Paul J.; Cox, Christopher D.; Hartman, George D.; Mariano, Brenda J.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 178 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004037171	A2	20040506	WO 2003-US32405	20031014
WO 2004037171	A3	20040708		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2500848	A1	20040506	CA 2003-2500848	20031014
AU 2003287057	A1	20040513	AU 2003-287057	20031014
EP 1556052	A2	20050727	EP 2003-777578	20031014
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006506456	T	20060223	JP 2005-501618	20031014
US 2006100191	A1	20060511	US 2005-531495	20050415

PRIORITY APPLN. INFO.:	US 2002-419570P	P	20021018
	US 2003-479712P	P	20030619
	WO 2003-US32405	W	20031014

OTHER SOURCE(S): MARPAT 140:391193
GI



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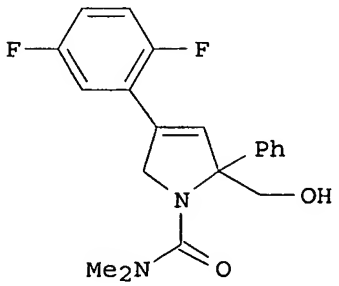

II

AB Title compds. I [wherein R1 = (un)substituted acyl(alkyl), carbamoyl(alkyl), sulfamoyl(alkyl), aryl, heterocyclyl, alkyl, etc.; R2 and R6 = independently (un)substituted aryl(alkyl), cycloalkyl, or heterocyclyl; R3 = (un)substituted alkoxyalk(en/yn)yl, carbamoylalk(en/yn)yl, alkylsulfonylalk(en/yn)yl, etc.; R4, R5, and R7 = independently H or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, perfluoroalkyl, arylalkyl, or heterocyclyl; or R5 and R7 are combined to form an oxo or sulfoxo; or pharmaceutically acceptable salt of stereoisomer thereof] were prepd. for treating cellular proliferative diseases, for treating disorders assocd. with KSP kinesin activity, and for inhibiting KSP kinesin. The invention is also related to compns. which comprise these compds., and methods of using them to treat cancer (no data). For instance, palladium catalyzed Suzuki coupling of 7a-phenyldihydro-1H-pyrrolo[1,2-c][1,3]oxazole-3,6(5H)-dione (multi-step prepn. given) and 2,5-difluorophenylboronic acid afforded 6-(2,5-difluorophenyl)-7a-phenyl-5,7a-dihydro-1H-pyrrolo[1,2-c][1,3]oxazol-3-one. The pyrrolooxazolone was treated with NaOH in EtOH to give the (hydroxymethyl)pyrrole, which was O-protected with tert-butyldimethylsilyl chloride. Reaction of the pyrrole with triphosgene and dimethylamine, followed by deprotection using triethylamine trihydrofluoride in MeCN provided II. In a kinesin ATPase assay using a human KSP motor domain construct and microtubules from bovine brain tubulin, example compds. inhibited the ATPase hydrolysis reaction with IC50 .ltoreq. 50 .mu.M.

L8 ANSWER 18 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:41221 CAPLUS
DOCUMENT NUMBER: 140:107282
TITLE: Crystal structure of human mitotic kinesin motor domain complexed with ligands and use of the three-dimensional structure in drug discovery
INVENTOR(S): Buser-Doepner, Carolyn A.; Coleman, Paul J.; Cox, Christopher D.; Fraley, Mark E.; Garbaccio, Robert M.; Hartman, George D.; Heimbrook, David C.; Kuo, Lawrence C.; Huber, Hans E.; Sardana, Vinod V.; Torrent, Maricel; Yan, Youwei
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 290 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004004652	A2	20040115	WO 2003-US21145	20030703
WO 2004004652	A3	20041104		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2489562	A1	20040115	CA 2003-2489562	20030703
AU 2003247891	A1	20040123	AU 2003-247891	20030703
EP 1551962	A2	20050713	EP 2003-763258	20030703
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005537257	T	20051208	JP 2004-519930	20030703

US 2006134767 A1 20060622 US 2006-520492 20060130
PRIORITY APPLN. INFO.: US 2002-394313P P 20020708
WO 2003-US21145 W 20030703

AB The present invention is directed to the identification, characterization and three-dimensional structure of a novel ligand binding site of kinesin spindle protein (KSP). Binding of ligands to the novel binding site result in a conformational change in the three-dimensional structure of the protein and a modulation of the activity of KSP. This conformational change in turn results in the formation of a novel binding pocket in the KSP protein, which comprises the novel binding site of the instant invention. Compns. and crystals of KSP motor domain with a KSP inhibitor bound to the protein at the novel ligand-binding site are also provided. The crystd. KSP motor domain is phys. analyzed by x-ray diffraction techniques. The resulting x-ray diffraction patterns are of sufficiently high resoln. to be useful for detg. the three-dimensional structure of inhibitor-bound KSP motor domain. Those at. coordinates are useful in mol. modeling of related proteins and rational drug design of mimetics and ligands for KSP and related proteins. Methods of using the structure coordinates of KSP motor domain in complex with an inhibitor for the design of pharmaceutical compds. which inhibit the biol. function of KSP, particularly those biol. functions mediated by mol. interactions involving KSP are also disclosed.

L8 ANSWER 19 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:1006780 CAPLUS
DOCUMENT NUMBER: 140:77020
TITLE: Preparation of pyrrole derivatives as mitotic kinesin inhibitors
INVENTOR(S): Arrington, Kenneth L.; Coleman, Paul J.; Cox, Christopher D.; Fraley, Mark E.; Garbaccio, Robert M.; Hartman, George D.; Hoffman, William F.; Tasber, Edward S.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 401 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003105855	A1	20031224	WO 2003-US18482	20030612
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2487489	A1	20031224	CA 2003-2487489	20030612
AU 2003245453	A1	20031231	AU 2003-245453	20030612
BR 2003011784	A	20050308	BR 2003-11784	20030612
EP 1515724	A1	20050323	EP 2003-739093	20030612
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1674906	A	20050928	CN 2003-819318	20030612
JP 2005536479	T	20051202	JP 2004-512758	20030612
ZA 2004009334	A	20060222	ZA 2004-9334	20041119
US 2006105997	A1	20060518	US 2004-517559	20041208
NO 2005000198	A	20050311	NO 2005-198	20050113

PRIORITY APPLN. INFO.:

US 2002-388621P	P	20020614
US 2002-403830P	P	20020815
US 2002-426940P	P	20021115
US 2003-458318P	P	20030328
WO 2003-US18482	W	20030612

OTHER SOURCE(S): MARPAT 140:77020

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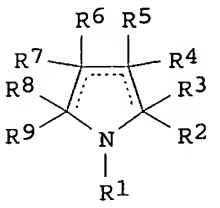

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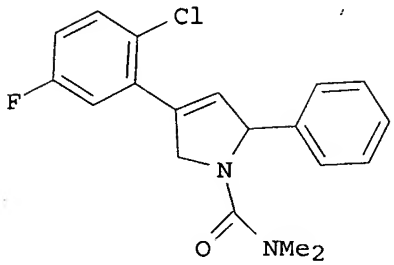


II

AB The invention relates to dihydropyrrole compds. that are useful for treating cellular proliferative diseases and disorders assocd. with KSP kinesin activity. The invention also relates to compns. which comprise these compds. and methods of using them to treat cancer in mammals. Compds. I [R1 is (C1-C6-alkylene)n-X-R, (n is 0 or 1; X is CO, SO2, NH, PO, etc.; R is alkyl, aryl, amino group, etc.), aryl, heterocyclyl, or alkyl; R2, R6 are aryl, aralkyl, cycloalkyl, or heterocyclyl; R3-R5, R7-R9 are H, alk(en)(yn)yl, aryl, aralkyl, heterocyclyl, etc.] (including amino acid derivs.) are claimed. For example, a detailed synthesis for the prepn. of II is outlined, which includes reaction of 2 chloro-5-fluorobenzenediazonium tetrafluoroborate with Boc-protected 2,5-dihydro-1H-pyrrole-1-carboxylate.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 20 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN

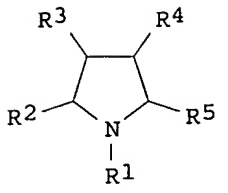
ACCESSION NUMBER: 1998:163561 CAPLUS
DOCUMENT NUMBER: 128:204801
TITLE: Combinatorial process for preparing substituted pyrrolidine libraries
INVENTOR(S): Hollinshead, Sean P.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Hollinshead, Sean P.
SOURCE: PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9808813	A1	19980305	WO 1997-US14559	19970820
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9740742	A	19980319	AU 1997-40742	19970820

PRIORITY APPLN. INFO.:

US 1996-24559P	P	19960826
WO 1997-US14559	W	19970820

OTHER SOURCE(S): CASREACT 128:204801; MARPAT 128:204801
GI



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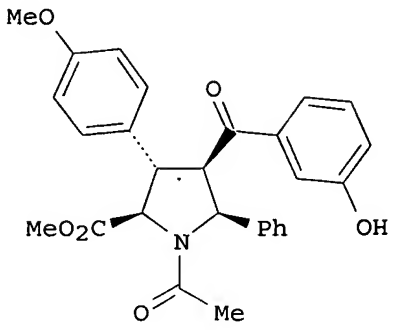
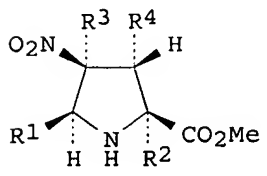


II

AB Title libraries [I; R1 = electrophilic group (sic); R2 = CO2R6, COSR6, CONHR6; R3,R5 = aryl; R4 = COZOR8; R6 = non-interfering substituent (sic); R8 = H or non-interfering substituent (sic); Z = divalent linking group (sic)] were prepd. Thus, 3-(HO)C6H4COMe was etherified by chlorinated Wang resin and the product condensed with 4-(MeO)C6H4CHO to give the aldol product which was cyclocondensed with (E)-PhCH:NCH2CO2Me to give, after acetylation and resin cleavage, pyrrolidine II.
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 21 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:136090 CAPLUS
DOCUMENT NUMBER: 128:167667
TITLE: Stereocontrolled Synthesis of Highly Substituted Proline Esters via [3 + 2] Cycloaddition between N-Metalated Azomethine Ylides and Nitroalkenes. Origins of the Metal Effect on the Stereochemical Outcome
AUTHOR(S): Ayerbe, Mirari; Arrieta, Ana; Cossio, Fernando P.; Linden, Anthony
CORPORATE SOURCE: Kimika Fakultatea, Euskal Herriko Unibertsitatea, San Sebastian-Donostia, Spain
SOURCE: Journal of Organic Chemistry (1998), 63(6), 1795-1805
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 128:167667
GI



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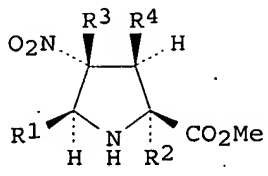


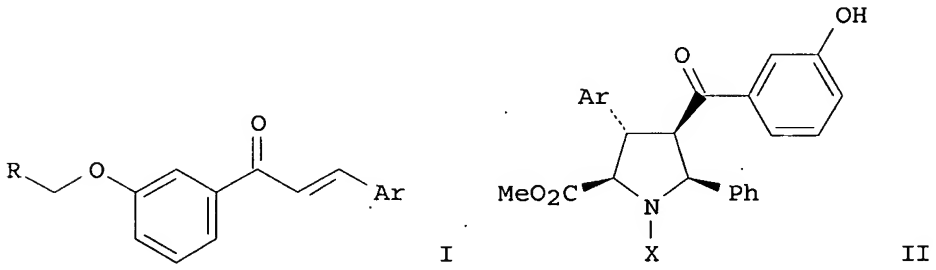
II

AB The [3 + 2] cycloaddn. reaction between several N-metalated azomethine ylides R1CH:NCHR2CO2Me (R1 = Ph, 2-HOC6H4, 2-MeOC6H4, 2-pyridyl, 4-HO-3-MeOC6H3) and nitroalkenes (E)-O2NCR3CHR4 [R3 = H, R4 = C6H4Cl-4, (S)-CHMeOCH2Ph; R3 = H, Me, R4 = C6H4OMe-4] has been studied using AgOAc and LiClO4 as metalating reagents in the presence of triethylamine. The

reaction is found to be very versatile and can be extended to homochiral nitroalkenes. In general, lithium and silver salts promote preferential formation of the endo and exo cycloadducts, I and II, resp. The presence of a phenol moiety induces a shift toward the exo-cycloadduct even when lithium is used. A model based upon the exptl. results obtained and SCF-MO calcns. is proposed to explain the variable stereochem. outcome of these reactions.

REFERENCE COUNT: 75 THERE ARE 75 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 22 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:10184 CAPLUS
DOCUMENT NUMBER: 126:144072
TITLE: Stereoselective synthesis of highly functionalized pyrrolidines via 1,3-dipolar cycloaddition reactions on a solid support
AUTHOR(S): Hollinshead, Sean P.
CORPORATE SOURCE: Sphinx Pharmaceuticals, A Division of Eli Lilly and Co., Durham, NC, 27707, USA
SOURCE: Tetrahedron Letters (1996), 37(51), 9157-9160
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB Resin bound 3-hydroxyacetophenone was condensed (NaOMe/MeOH/THF) with aryl aldehydes to give .alpha.,.beta.-unsatd. ketones. Subsequent reaction with an azomethine ylide in the presence of LiBr/DBU gave pyrrolidines. These pyrrolidines were subsequently acylated and cleaved from the solid support to give highly functionalized pyrrolidine target compds. Thus, the solid-supported 3-aryl-1-(3-hydroxyphenyl)-2-propen-1-ones I (R = methoxyphenyl, 1-naphthalenyl, etc.; R = resin support) were prepd. Subsequent 1,3-dipolar cycloaddn. of I with N-benzylideneglycine Me ester gave the functionalized pyrrolidines II (same Ar; X = acyl, phenylsulfonyl group). Imines, such as N-[(4-methoxyphenyl)methylene]-3-pyridinemethanamine and N-[(4-bromophenyl)methylene]-3,4-dimethoxybenzenemethanamine did not undergo a dipolar cycloaddn. with I.

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 23 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1953:51531 CAPLUS
DOCUMENT NUMBER: 47:51531
ORIGINAL REFERENCE NO.: 47:8736c-i,8737a-h
TITLE: Azole series. XXXIII. Interaction of .alpha.-amino nitriles and alkyl or aryl isocyanates
AUTHOR(S): Cook, A. H.; Hunter, G. D.
CORPORATE SOURCE: Imperial Coll. Sci. Technol., London
SOURCE: Journal of the Chemical Society (1952) 3789-96

CODEN: JCSOA9; ISSN: 0368-1769
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 47:51531

AB cf. C.A. 45, 1036b. Substituted ureas obtained from .alpha.-amino nitriles and RNCO are converted by EtONa in EtOH into the corresponding 5-aminooxazoles. In the case of 5-amino-2-(arylamino)oxazoles, treatment with aq. NaOH yields dimeric products (obtained in some cases directly in admixt. with 5-aminooxazoles), which are formulated as 1-arylcarbamyl-3-(3-arylureido)-2,4-diiminopyrrolidines. NCCH(NH2)CO2Et (25 g.) in 150 cc. ether at 0.degree.. Treated with 33 g. 1-C10H7NCO in 150 cc. ether, gives 100% N-carbethoxycyanomethyl-N'-(1-naphthyl)urea (Et .alpha.-cyano-.delta.-1-naphthylhydantoate) (I), m. 179.degree.. I (1 g.), refluxed 1 h. with 10 cc. 10% EtOH-HCl and the filtrate dild. with 60 cc. H2O give 90% Et 3-(1-naphthyl)-5-hydantoincarboxylate, m. 85.degree.. I (35 g.), refluxed 2 h. with EtONa (2.6 g. Na) in 200 cc. EtOH and the product in 100 cc. ice-cold H2O acidified with AcOH, yields 94% Et 5-amino-2-(1-naphthylamino)-4-oxazolecarboxylate (II), m. 237.degree. (decompn.); HCl salt, m. 215.degree.; CH2N2 gives a mono-Me deriv., pale yellow, m. 98.degree. (decompn.); Ac2O (refluxing 5 min.) yields the Ac deriv., m. 100.degree.. II (10 g.) and 100 cc. 10% aq. NaOH, refluxed about 25 min. and the filtrate acidified with AcOH, give 60% di-Et 2,4-diimino-1-(1-naphthylcarbamyl)-3-(3-1-naphthylureido)-3,5-pyrrolidenedicarboxylate (III), yellow, m. about 145.degree. (decompn.); 3 g. III and 30 cc. 10% EtOH-HCl, refluxed 1 h., give 1 g. 1-naphthylcarbamyl-3-[3-(1-naphthyl)ureido]-2,4-pyrrolidinedione, with 1 mol. H2O, m. 80-110.degree. (decompn.). II (1.3 g.) and 10 cc. 10% EtOH-HCl, refluxed 3 h., give a complex mixt., fractional crystn. from MeOH-H2O giving di-Et 3-amino-2,4-dioxo-3,5-pyrrolidinedicarboxylate, golden, m. 125.degree. (decompn.). 1-C10H7NCO (33.8 g.) in 100 cc. ether, added (20 min.) to 26.4 g. PhCH(NH2)CN in 250 cc. ether at 0.degree., gives 97% 1-(.alpha.-cyanobenzyl)-3-(1-naphthyl)urea (IV), m. 190.degree. (decompn.); 2 g. IV and 20 cc. 10% EtOH-HCl, refluxed 75 min. and the filtrate dild. with 50 cc. H2O, give 90% 3-(1-naphthyl)-5-phenylhydantoin, m. 192.degree. (mono-Ac deriv., silvery, m. 201.degree.). IV (45 g.) and EtONa (3.6 g. Na) in 250 cc. EtOH, refluxed 2 h., the residue in 150 cc. H2O acidified with AcOH, and the yellow ppt. (45 g.) extd. with hot MeOH, give 40% of the sparingly sol. 2-(1-naphthylamino)-4-phenyloxazole (V), m. 261.degree. [dioxane complex, 4V.3C4H8O2, m. 257.degree. (decompn.)]; addn. of H2O to the MeOH filtrate gives 59% 2,4-diimino-1-(1-naphthylcarbamyl)-3-[3-(1-naphthyl)ureido]-3,5-diphenylpyrrolidine (VI), gradually m. at 125-30.degree. and then at 230-5.degree. (decompn.). V and CH2N2 in ether give a mono-Me deriv., pale yellow, m. 95.degree. (decompn.); VI and CH2N2 yield a tetra-Me deriv., with 2 mols. MeOH, m. 97.degree. (decompn.); di-Ac deriv. of VI, m. 258.degree. (decompn.). V (2 g.) and 20 cc. 10% EtOH-HCl, refluxed 4 h., give 1 g. 1-(1-naphthylcarbamyl)-3-[3-(1-naphthyl)ureido]-3,5-diphenyl-2,4-pyrrolidinedione, pale yellow, m. 145-55.degree. (decompn.), appears to decomp. in the presence of most org. solvents. VI (5 g.) and 50 cc. 10% EtOH-HCl refluxed 1 h., give 2. g. 3-amino-3,5-diphenyl-2,4-pyrrolidinedione (VII), m. 174.degree. (decompn.); with CH2N2, VII yields the 1-Me deriv., pale yellow, m. 198.degree. (decompn.); VII and Ac2O, boiled 2 min., give 1-acetyl-3-acetamido-3, 5-diphenyl-2,4-pyrrolidinedione, m. 215.degree.. V (0.2 g.) in 10 cc. cold 10% EtOH-HCl, 120 cc. ether, and 20 cc. petr. ether, 2 wk at 0.degree., give 0.1 g. 3-amino-1,1-diethyl-2,4-dioxo-3,5-diphenylpyrrolidinium chloride, m. 245-55.degree. (decompn.). 1-C10H7NCO (39 g.) in 150 cc. ether, added (15 min.) to 13 g. H2NCH2CN in 60 cc. CHCl3 at 0.degree., gives 99% 1-cyanomethyl-3-(1-naphthyl)urea (VIII), m. 183.degree.; 1 g. VIII and boiling 10% EtOH-HCl give 0.9 g. 3-(1-naphthyl)hydantoin (IX), m. 219.degree.. VIII (30 g.), refluxed 1.5 h. with EtONa (3.4 g. Na) in 200 cc. EtOH and the product in 150 cc. H2O acidified with AcOH, gives 100% 5-amino-2-(1-naphthylamino)oxazole (X), m. 193.degree. (decompn.), gradually decomp. when heated in camphor; HCl salt, m. 217.degree.

NO 2005000198	A	20050311	NO 2005-198		20050113
PRIORITY APPLN. INFO.:			US 2002-388621P	P	20020614
			US 2002-403830P	P	20020815
			US 2002-426940P	P	20021115
			US 2003-458318P	P	20030328
			WO 2003-US18482	W	20030612

OTHER SOURCE(S): MARPAT 140:77020
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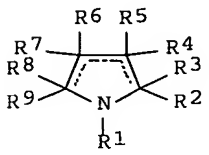

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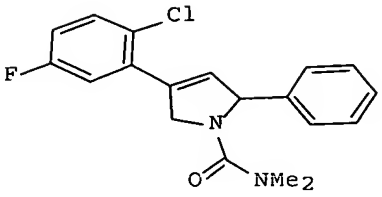

II

AB The invention relates to dihydropyrrole compds. that are useful for treating cellular proliferative diseases and disorders assocd. with KSP kinesin activity. The invention also relates to compns. which comprise these compds. and methods of using them to treat cancer in mammals. Compds. I [R1 is (C1-C6-alkylene)n-X-R, (n is 0 or 1; X is CO, SO2, NH, PO, etc.; R is alkyl, aryl, amino group, etc.), aryl, heterocyclyl, or alkyl; R2, R6 are aryl, aralkyl, cycloalkyl, or heterocyclyl; R3-R5, R7-R9 are H, alk(en)(yn)yl, aryl, aralkyl, heterocyclyl, etc.] (including amino acid derivs.) are claimed. For example, a detailed synthesis for the prepn. of II is outlined, which includes reaction of 2 chloro-5-fluorobenzenediazonium tetrafluoroborate with Boc-protected 2,5-dihydro-1H-pyrrole-1-carboxylate.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 20 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN cited closest prior art

ACCESSION NUMBER: 1998:163561 CAPLUS Full-text
DOCUMENT NUMBER: 128:204801
TITLE: Combinatorial process for preparing substituted pyrrolidine libraries
INVENTOR(S): Hollinshead, Sean P.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Hollinshead, Sean P.
SOURCE: PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9808813	A1	19980305	WO 1997-US14559	19970820

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,

GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9740742	A	19980319	AU 1997-40742		19970820
PRIORITY APPLN. INFO.:			US 1996-24559P	P	19960826
			WO 1997-US14559	W	19970820

OTHER SOURCE(S): CASREACT 128:204801; MARPAT 128:204801

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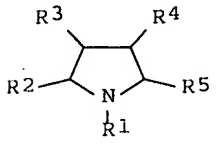

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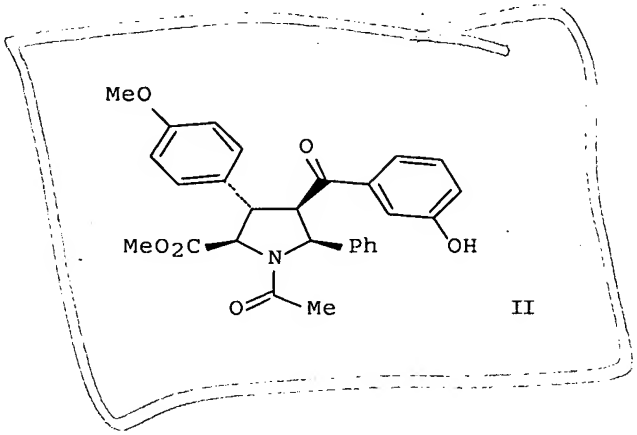

II

AB Title libraries [I; R1 = electrophilic group (sic); R2 = CO2R6, COSR6, CONHR6; R3,R5 = aryl; R4 = COZOR8; R6 = non-interfering substituent (sic); R8 = H or non-interfering substituent (sic); Z = divalent linking group (sic)] were prepd. Thus, 3-(HO)C6H4COMe was etherified by chlorinated Wang resin and the product condensed with 4-(MeO)C6H4CHO to give the aldol product which was cyclocondensed with (E)-PhCH:NCH2CO2Me to give, after acetylation and resin cleavage, pyrrolidine II.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 21 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:	1998:136090 CAPLUS Full-text
DOCUMENT NUMBER:	128:167667
TITLE:	Stereocontrolled Synthesis of Highly Substituted Proline Esters via [3 + 2] Cycloaddition between N-Metalated Azomethine Ylides and Nitroalkenes. Origins of the Metal Effect on the Stereochemical Outcome
AUTHOR(S):	Ayerbe, Mirari; Arrieta, Ana; Cossio, Fernando P.; Linden, Anthony
CORPORATE SOURCE:	Kimika Fakultatea, Euskal Herriko Unibertsitatea, San Sebastian-Donostia, Spain
SOURCE:	Journal of Organic Chemistry (1998), 63(6), 1795-1805 CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER:	American Chemical Society
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 128:167667

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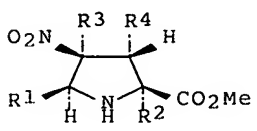

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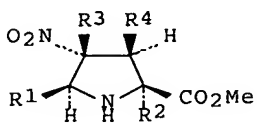

II

AB The [3 + 2] cycloaddn. reaction between several N-metalated azomethine ylides R1CH:NCHR2CO2Me (R1 = Ph, 2-HOC6H4, 2-MeOC6H4, 2-pyridyl, 4-HO-3-MeOC6H3) and nitroalkenes (E)-O2NCR3CHR4 [R3 = H, R4 = C6H4Cl-4, (S)-CHMeOCH2Ph; R3 = H, Me, R4 = C6H4OMe-4] has been studied using AgOAc and LiClO4 as metalating reagents in the presence of triethylamine. The reaction is found to be very versatile and can be extended to homochiral nitroalkenes. In general, lithium and silver salts promote preferential formation of the endo and exo cycloadducts, I and II, resp. The presence of a phenol moiety induces a shift toward the exo-cycloadduct even when lithium is used. A model based upon the exptl. results obtained and SCF-MO calcns. is proposed to explain the variable stereochem. outcome of these reactions.

REFERENCE COUNT: 75 THERE ARE 75 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 22 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:10184 CAPLUS Full-text

DOCUMENT NUMBER: 126:144072

TITLE: Stereoselective synthesis of highly functionalized pyrrolidines via 1,3-dipolar cycloaddition reactions on a solid support

AUTHOR(S): Hollinshead, Sean P.

CORPORATE SOURCE: Sphinx Pharmaceuticals, A Division of Eli Lilly and Co., Durham, NC, 27707, USA

SOURCE: Tetrahedron Letters (1996), 37(51), 9157-9160
CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

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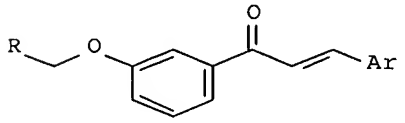

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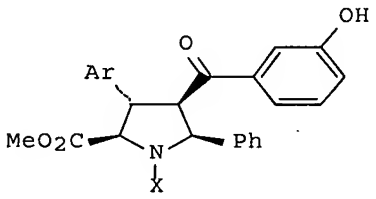
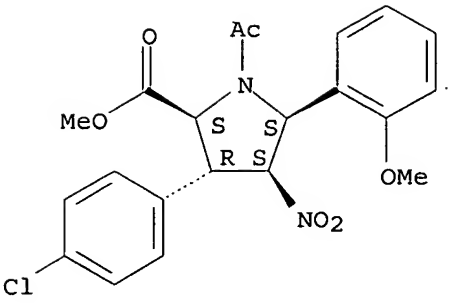


II

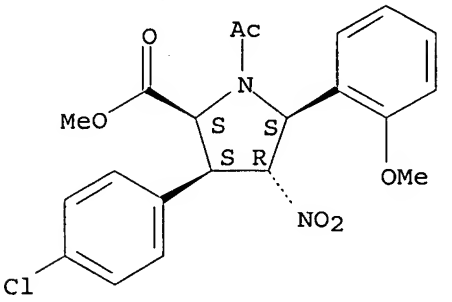
AB Resin bound 3-hydroxyacetophenone was condensed (NaOMe/MeOH/THF) with aryl aldehydes to give .alpha.,.beta.-unsatd. ketones. Subsequent reaction with an azomethine ylide in the presence of LiBr/DBU gave pyrrolidines. These pyrrolidines were subsequently acylated and cleaved from the solid support to give highly functionalized pyrrolidine target compds. Thus, the solid-supported 3-aryl-1-(3-hydroxyphenyl)-2-propen-1-ones I (R = methoxyphenyl, 1-naphthalenyl, etc.; R = resin support) were prepd. Subsequent 1,3-dipolar cycloaddn. of I with N-benzylideneglycine Me ester gave the functionalized pyrrolidines II (same Ar; X = acyl, phenylsulfonyl group). Imines, such as N-[(4-methoxyphenyl)methylene]-3- pyridinemethanamine and N-[(4-bromophenyl)methylene]-3,4- dimethoxybenzenemethanamine did not undergo a dipolar cycloaddn. with I.

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS



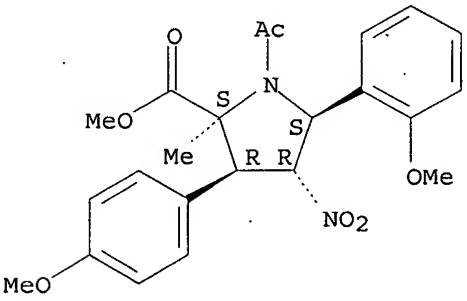
RN 203003-45-6 CAPLUS
CN D-Proline, 1-acetyl-3-(4-chlorophenyl)-5-(2-methoxyphenyl)-4-nitro-, methyl ester, (3R,4S,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 203003-46-7 CAPLUS
CN D-Proline, 1-acetyl-5-(2-methoxyphenyl)-3-(4-methoxyphenyl)-2-methyl-4-nitro-, methyl ester, (3S,4S,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 75 THERE ARE 75 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 22 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:10184 CAPLUS
DOCUMENT NUMBER: 126:144072
TITLE: Stereoselective synthesis of highly functionalized pyrrolidines via 1,3-dipolar cycloaddition reactions on a solid support
AUTHOR(S): Hollinshead, Sean P.
CORPORATE SOURCE: Sphinx Pharmaceuticals, A Division of Eli Lilly and Co., Durham, NC, 27707, USA
SOURCE: Tetrahedron Letters (1996), 37(51), 9157-9160

CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
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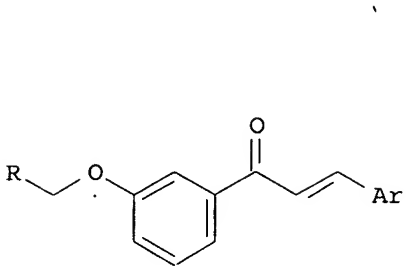

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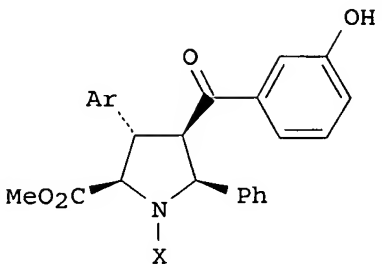


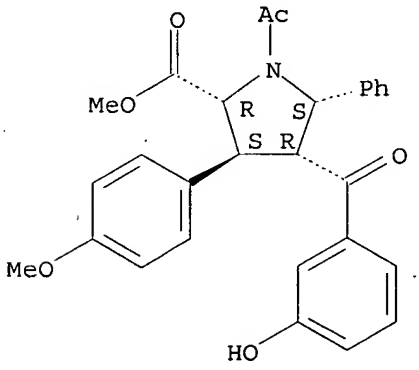
II

AB Resin bound 3-hydroxyacetophenone was condensed (NaOMe/MeOH/THF) with aryl aldehydes to give .alpha.,.beta.-unsatd. ketones. Subsequent reaction with an azomethine ylide in the presence of LiBr/DBU gave pyrrolidines. These pyrrolidines were subsequently acylated and cleaved from the solid support to give highly functionalized pyrrolidine target compds. Thus, the solid-supported 3-aryl-1-(3-hydroxyphenyl)-2-propen-1-ones I (R = methoxyphenyl, 1-naphthalenyl, etc.; R = resin support) were prepd. Subsequent 1,3-dipolar cycloaddn. of I with N-benzylideneglycine Me ester gave the functionalized pyrrolidines II (same Ar; X = acyl, phenylsulfonyl group). Imines, such as N-[(4-methoxyphenyl)methylene]-3-pyridinemethanamine and N-[(4-bromophenyl)methylene]-3,4-dimethoxybenzenemethanamine did not undergo a dipolar cycloaddn. with I.

IT 186507-16-4P 186507-17-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of pyrrolidines via 1,3-dipolar cycloaddn. on solid support)

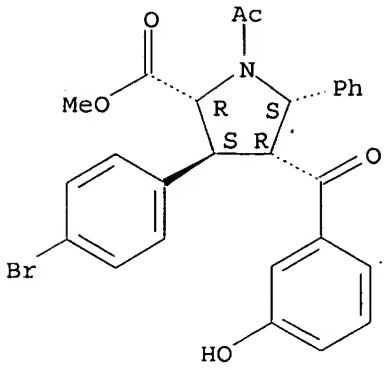
RN 186507-16-4 CAPLUS
CN D-Proline, 1-acetyl-4-(3-hydroxybenzoyl)-3-(4-methoxyphenyl)-5-phenyl-, methyl ester, (3S,4R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 186507-17-5 CAPLUS
CN D-Proline, 1-acetyl-3-(4-bromophenyl)-4-(3-hydroxybenzoyl)-5-phenyl-, methyl ester, (3S,4R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 23 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1953:51531 CAPLUS
DOCUMENT NUMBER: 47:51531
ORIGINAL REFERENCE NO.: 47:8736c-i,8737a-h
TITLE: Azole series. XXXIII. Interaction of .alpha.-amino nitriles and alkyl or aryl isocyanates
AUTHOR(S): Cook, A. H.; Hunter, G. D.
CORPORATE SOURCE: Imperial Coll. Sci. Technol., London
SOURCE: Journal of the Chemical Society (1952) 3789-96
CODEN: JCSOA9; ISSN: 0368-1769
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 47:51531

AB cf. C.A. 45, 1036b. Substituted ureas obtained from .alpha.-amino nitriles and RNCO are converted by EtONa in EtOH into the corresponding 5-aminooxazoles. In the case of 5-amino-2-(arylamino)oxazoles, treatment with aq. NaOH yields dimeric products (obtained in some cases directly in admixt. with 5-aminooxazoles), which are formulated as 1-arylcarbamyl-3-(3-arylureido)-2,4-diiminopyrrolidines. NCCH(NH2)CO2Et (25 g.) in 150 cc. ether at 0.degree.. Treated with 33 g. 1-C10H7NCO in 150 cc. ether, gives 100% N-carbethoxycyanomethyl-N'-(1-naphthyl)urea (Et .alpha.-cyano-.delta.-1-naphthylhydantoate) (I), m. 179.degree.. I (1 g.), refluxed 1 h. with 10 cc. 10% EtOH-HCl and the filtrate dild. with 60 cc. H2O give 90% Et 3-(1-naphthyl)-5-hydantoincarboxylate, m. 85.degree.. I (35 g.), refluxed 2 h. with EtONa (2.6 g. Na) in 200 cc. EtOH and the product in 100 cc. ice-cold H2O acidified with AcOH, yields 94% Et 5-amino-2-(1-naphthylamino)-4-oxazolecarboxylate (II), m. 237.degree. (decompn.); HCl salt, m. 215.degree.; CH2N2 gives a mono-Me deriv., pale yellow, m. 98.degree. (decompn.); Ac2O (refluxing 5 min.) yields the Ac deriv., m. 100.degree.. II (10 g.) and 100 cc. 10% aq. NaOH, refluxed about 25 min. and the filtrate acidified with AcOH, give 60% di-Et 2,4-diimino-1-(1-naphthylcarbamyl)-3-(3-1-naphthylureido)-3,5-pyrrolidenedicarboxylate (III), yellow, m. about 145.degree. (decompn.); 3 g. III and 30 cc. 10% EtOH-HCl, refluxed 1 h., give 1 g. 1-naphthylcarbamyl-3-[3-(1-naphthyl)ureido]-2,4-pyrrolidinedione, with 1 mol. H2O, m. 80-110.degree. (decompn.). II (1.3 g.) and 10 cc. 10% EtOH-HCl, refluxed 3 h., give a complex mixt., fractional crystn. from MeOH-H2O giving di-Et 3-amino-2,4-dioxo-3,5-pyrrolidinedicarboxylate, golden, m. 125.degree. (decompn.). 1-C10H7NCO (33.8 g.) in 100 cc. ether, added (20 min.) to 26.4 g. PhCH(NH2)CN in 250 cc. ether at 0.degree., gives 97% 1-(.alpha.-cyanobenzyl)-3-(1-naphthyl)urea (IV), m. 190.degree. (decompn.); 2 g. IV and 20 cc. 10% EtOH-HCl, refluxed 75 min. and the filtrate dild. with 50 cc. H2O, give 90% 3-(1-naphthyl)-5-phenylhydantoin, m. 192.degree. (mono-Ac deriv., silvery, m. 201.degree.). IV (45 g.) and EtONa (3.6 g. Na) in 250 cc. EtOH, refluxed 2 h., the residue in 150 cc. H2O acidified with AcOH, and the yellow ppt. (45 g.) extd. with hot MeOH,

give 40% of the sparingly sol. 2-(1-naphthylamino)-4-phenyloxazole (V), m. 261.degree. [dioxane complex, 4V.3C4H8O2, m. 257.degree. (decompn.)]; addn. of H2O to the MeOH filtrate gives 59% 2,4-diimino-1-(1-naphthylcarbamyl)-3-[3-(1-naphthyl)ureido]-3,5-diphenylpyrrolidine (VI), gradually m. at 125-30.degree. and then at 230-5.degree. (decompn.). V and CH2N2 in ether give a mono-Me deriv., pale yellow, m. 95.degree. (decompn.); VI and CH2N2 yield a tetra-Me deriv., with 2 mols. MeOH, m. 97.degree. (decompn.); di-Ac deriv. of VI, m. 258.degree. (decompn.). V (2 g.) and 20 cc. 10% EtOH-HCl, refluxed 4 h., give 1 g. 1-(1-naphthylcarbamyl)-3-[3-(1-naphthyl)ureido]-3,5-diphenyl-2,4-pyrrolidinedione, pale yellow, m. 145-55.degree. (decompn.), appears to decomp. in the presence of most org. solvents. VI (5 g.) and 50 cc. 10% EtOH-HCl refluxed 1 h., give 2. g. 3-amino-3,5-diphenyl-2,4-pyrrolidinedione (VII), m. 174.degree. (decompn.); with CH2N2, VII yields the 1-Me deriv., pale yellow, m. 198.degree. (decompn.); VII and Ac2O, boiled 2 min., give 1-acetyl-3-acetamido-3, 5-diphenyl-2,4-pyrrolidinedione, m. 215.degree.. V (0.2 g.) in 10 cc. cold 10% EtOH-HCl, 120 cc. ether, and 20 cc. petr. ether, 2 wk at 0.degree., give 0.1 g. 3-amino-1,1-diethyl-2,4-dioxo-3,5-diphenylpyrrolidinium chloride, m. 245-55.degree. (decompn.). 1-C10H7NCO (39 g.) in 150 cc. ether, added (15 min.) to 13 g. H2NCH2CN in 60 cc. CHCl3 at 0.degree., gives 99% 1-cyanomethyl-3-(1-naphthyl)urea (VIII), m. 183.degree.; 1 g. VIII and boiling 10% EtOH-HCl give 0.9 g. 3-(1-naphthyl)hydantoin (IX), m. 219.degree.. VIII (30 g.), refluxed 1.5 h. with EtONa (3.4 g. Na) in 200 cc. EtOH and the product in 150 cc. H2O acidified with AcOH, gives 100% 5-amino-2-(1-naphthylamino)oxazole (X), m. 193.degree. (decompn.), gradually decomp. when heated in camphor; HCl salt, m. 217.degree. (decompn.). X (10 g.) in 100 cc. 10% aq. NaOH, warmed 5 min. at 80.degree. and the filtrate (from 1-C10H7NH2) acidified with AcOH, gives 8% 2,4-diimino-1-(1-naphthylcarbamyl)-3-[3-(1-naphthyl)ureido]pyrrolidine, yellow, m. 161-3.degree. (decompn.). MeNHCH2CN (7 g.) in 300 cc. ether, treated at 0.degree. (10 min.) with 17 g. 1-C10H7NCO in 100 cc. ether, gives 85% of the 1-Me deriv. (XI) of VIII, m. 126.degree.; refluxed 2 h. with 10% EtOH-HCl, XI yields the 1-Me deriv. of IX, m. 183.degree.; XI with EtONa in EtOH, refluxed 1.5 h., gives 83% of the 3-Me deriv. of X, m. 163.degree.; XI is recovered unchanged (small yield) on boiling for a short time with 10% aq. NaOH. VIII (5 g.) and 3 g. Me2SO4 in 100 cc. Me2CO contg. 10 g. K2CO3, boiled 4 h., give 5 g. of the 3-Me deriv. (XII), m. 198.degree.; 3 g. XII and EtONa (0.3 g. Na) in 30 cc. EtOH, refluxed 2 h., give 2.5 g. 5-amino-2-[methyl(1-naphthyl)amino]oxazole, m. 191-2.degree. (decompn.). PrCH(NHMe)CN (11.3 g.) in 50 cc. ether, added (5 min.) to 17.5 g. 1-C10H7NCO in 50 cc. ether at 0.degree., gives 100% 1-(1-cyanobutyl)-1-methyl-3-(1-naphthyl)urea (XIII), m. 151.degree.; refluxed 2 h. with 10% EtOH-HCl XIII yields 1-methyl-3-(1-naphthyl)-5-propylhydantoin, m. 153.degree.. XIII and EtONa in EtOH, refluxed 2 h., give 100% 5-amino-3-methyl-2-(1-naphthylimino)-4-propyl-4-oxazoline, m. 198.degree., stable on boiling 3 h. with EtOH-HCl. PhNCO (11.6 g.) in 100 cc. ether, added (5 min.) to 12.5 g. NCCH(NH2)CO2Et in 50 cc. ether at 0.degree., gives 89% Et .alpha.-cyano-.delta.-phenylhydantoate (XIV), m. 167-9.degree.; XIV and EtONa in EtOH, refluxed 2 h. and the residue in H2O acidified with AcOH, give 82% Et 5-amino-2-anilino-4-oxazolecarboxylate, pale yellow, m. 211-12.degree.. PhCH(NH2)CN and PhNCO in ether give 94% PhCH(CN)NHCONHPh (XV), m. 155.degree.; XV and EtONa in EtOH, refluxed 1.5 h. and the product extd. with hot MeOH, give 1.7 g. 5-amino-2-anilino-4-phenyloxazole [acetate, m. 217.degree. (decompn.) when recrystd. from AcOH] and, from the MeOH filtrate, 9.5 g. 2,4-diimino-3,5-diphenyl-1-phenylcarbamyl-3-(3-phenylureido)pyrrolidine, with 1 mol. H2O, yellow, m. 94.degree. (decompn.). PrCH(NHMe)CN and PhNCO yield 84% 1-(1-cyanobutyl)-1-methyl-3-phenylurea, m. 77.degree.. MeNCO (3 g.) in 50 cc. ether, added (5 min.) to 6.5 g. PhCH(NH2)CN in 50 cc. ether at 0.degree., give 87% N-(.alpha.-cyanobenzyl)-3-methylurea (XVI), m. 166.degree.; refluxed 1.5 h. with EtONa in EtOH, XVI yields 48% 5-amino-2-methyl-amino-4-phenyloxazole, m. about 240.degree. (decompn.); this rapidly lost MeNH2 when warmed with alkali; with EtOH-HCl XVI gives

3-methyl-5-phenylhydantoin. MeNCO and NCCH2(NH2)CO2Et yield 78% Et .alpha.-cyano-.delta.-methylhydantoate, m. 164.degree.. PhCH(NH2)CN (20 g.) in 50% aq. AcOH at 0.degree. treated (10 min.) with finely powd. NaCNO gives 100% H2NCONHCH(CN)Ph, m. 177.degree.; refluxed 1.5 h. with EtONa in EtOH, this gives 16% 2,5-diamino-4-phenyloxazole. Similarly NCCH(NH2)CO2Et yields 63% Et .alpha.-cyanohydantoate, m. 166.degree.. These results suggest that the transformation of a 5-aminooxazole into a 2,4-diiminopyrrolidine is a property confined to those oxazoles carrying a 2-aryl-amino substituent.

IT 857424-08-9, 1-Pyrrolidinecarboxamide, 2,4-diimino-N-1-naphthyl-3-[3-(1-naphthyl)ureido]-3,5-diphenyl-
(and derivs.)

RN 857424-08-9 CAPLUS

CN 1-Pyrrolidinecarboxamide, 2,4-diimino-N-1-naphthyl-3-[3-(1-naphthyl)ureido]-3,5-diphenyl- (5CI) (CA INDEX NAME)

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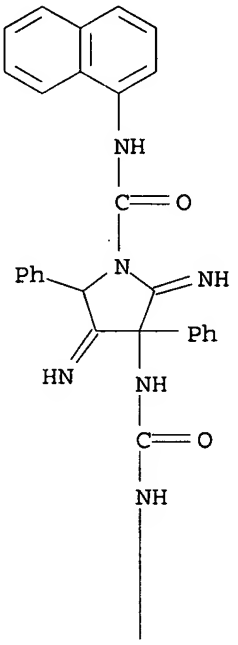

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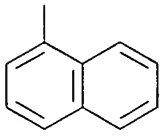

IT 857424-01-2P, Urea, 1-(1-naphthyl)-3-[1-(1-naphthylcarbamoyl)-2,4-dioxo-3,5-diphenyl-3-pyrrolidinyl]-
RL: PREP (Preparation)
(prepn. of)

RN 857424-01-2 CAPLUS

CN 1-Pyrrolidinecarboxamide, N-1-naphthyl-3-[3-(1-naphthyl)ureido]-2,4-dioxo-3,5-diphenyl- (5CI) (CA INDEX NAME)

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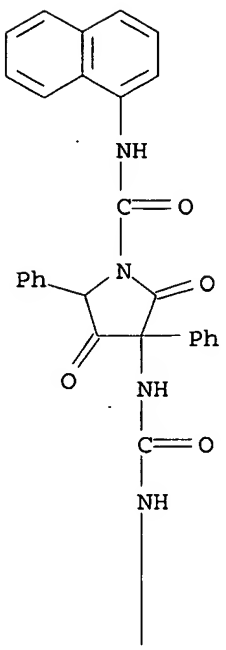

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